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L1 STR

Structure attributes must be viewed using STN Express query preparation.

- L3 54 SEA FILE=REGISTRY SSS FUL L1
- L4 8 SEA FILE=CAPLUS L3
- => d l4 1-8 fbib abs hitstr
- L4 ANSWER 1 OF 8 CAPLUS COPYRIGHT 2005 ACS on STN
- AN 2004:654777 CAPLUS
- DN 141:190791
- TI Preparation of cycloalkyl-[4-(trifluorophenyl)-oxazol-5-yl]-triazolopyridines as potent inhibitors of MAP kinases, preferably p38 kinase

IN Dombroski, Mark A.; Letavic, Michael A.; McClure, Kim F.

PA Pfizer Inc, USA

SO U.S. Pat. Appl. Publ., 24 pp.

CODEN: USXXCO

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
ΡI	US 2004157877	A1	20040812	US 2003-649216	20030827
				US 2002-407086P P	20020830

OS MARPAT 141:190791

GΙ

The title compds. [I; R1 = F; s = 3; R2 = (un)substituted cycloalkyl] which are potent inhibitors of MAP kinases, preferably p38 kinase, and therefore useful in the treatment of inflammation, osteoarthritis, rheumatoid arthritis, cancer, reperfusion or ischemia in stroke or heart attack, autoimmune diseases and other disorders, were prepared E.g., a multi-step synthesis of II, starting from 2,5-dibromopyridine, was given. The pharmaceutical composition comprising the compound I is claimed.

ΙI

IT 668990-95-2P 668990-96-3P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of cycloalkyl-[4-(trifluorophenyl)-oxazol-5-yl]-triazolopyridines as potent inhibitors of MAP kinases, preferably p38 kinase)
RN 668990-95-2 CAPLUS

CN 1,2,4-Triazolo[4,3-a]pyridine, 3-cyclopropyl-6-[4-(2,4,5-trifluorophenyl)-5-oxazolyl]- (9CI) (CA INDEX NAME)

RN 668990-96-3 CAPLUS

CN 1,2,4-Triazolo[4,3-a]pyridine, 3-(1-methylcyclopropyl)-6-[4-(2,4,5-trifluorophenyl)-5-oxazolyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 2 OF 8 CAPLUS COPYRIGHT 2005 ACS on STN

AN 2004:589283 CAPLUS

DN 141:140449

TI Preparation of novel crystalline forms of 3-isopropyl-6-[4-(2,5-difluorophenyl)oxazol-5-yl]-[1,2,4]triazolo[4,3-a]pyridine.

IN Kang, Ming; Li, Zheng Jane; Li, Zhengong Bryan; Tao, Yong

PA Pfizer Inc, USA

SO U.S. Pat. Appl. Publ., 35 pp.

CODEN: USXXCO

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
ΡI	US 2004143119	A1	20040722	US 2003-649194	20030827
				US 2002-407158P P	20020830

AB Crystalline forms of 3-isopropyl-6-[4-(2,5-difluorophenyl)oxazol-5-yl][1,2,4]triazolo[4,3-a]pyridine (I) having specified x-ray crystallog., 13C
solid state NMR, and differential scanning calorimetry data were prepared
Thus, N-α-tosyl-(2,5-difluorobenzyl)isocyanide (preparation given),
3-isopropyl-1,2,4-triazolo[4,3-a]pyridine-6-carboxaldehyde (preparation given),
and K2CO3 were refluxed together for 22 h in MeCN to give 61% I. This was
triturated in EtOAc/hexane followed by drying in vacuo at 40° for
48 h to give I form A.

IT 668981-02-0P

RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)

(preparation of novel crystalline forms of isopropyldifluorophenyloxazolyltriazol opyridine)
RN 668981-02-0 CAPLUS

CN 1,2,4-Triazolo[4,3-a]pyridine, 6-[4-(2,5-difluorophenyl)-5-oxazolyl]-3-(1-methylethyl)- (9CI) (CA INDEX NAME)

IT 668981-04-2P 668981-05-3P 668981-07-5P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of novel crystalline forms of isopropyldifluorophenyloxazolyltriazol

opyridine)

RN 668981-04-2 CAPLUS

CN 1,2,4-Triazolo[4,3-a]pyridine, 6-[4-(2,5-difluorophenyl)-5-oxazolyl]-3-(1-methylethyl)-, monohydrochloride (9CI) (CA INDEX NAME)

● HCl

RN 668981-05-3 CAPLUS

CN 1,2,4-Triazolo[4,3-a]pyridine, 6-[4-(2,5-difluorophenyl)-5-oxazolyl]-3-(1-methylethyl)-, monomethanesulfonate (9CI) (CA INDEX NAME)

CM 1

CRN 668981-02-0 CMF C18 H14 F2 N4 O

CM 2

CRN 75-75-2 CMF C H4 O3 S

RN 668981-07-5 CAPLUS

CN 1,2,4-Triazolo[4,3-a]pyridine, 6-[4-(2,5-difluorophenyl)-5-oxazolyl]-3-(1-methylethyl)-, sulfate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 668981-02-0 CMF C18 H14 F2 N4 O

CM 2

CRN 7664-93-9 CMF H2 O4 S

L4 ANSWER 3 OF 8 CAPLUS COPYRIGHT 2005 ACS on STN

AN 2004:392324 CAPLUS

DN 140:406810

TI Preparation of alkyl-[4-(difluorophenyl)-oxazol-5-yl]-triazolopyridines as MAP kinases, in particular p38 kinase inhibitors

IN Dombroski, Mark A.; Letavic, Michael A.; McClure, Kim F.

PA Pfizer Inc, USA

SO U.S. Pat. Appl. Publ., 31 pp.

CODEN: USXXCO

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE		
ΡI	US 2004092547	A1	20040513	US 2003-649227		20030827	
				US 2002-407088P	Ρ	20020830	

OS MARPAT 140:406810

GΙ

Title compds. I [wherein R1 = F; n = 2; R2 = alkyl, optionally substituted by halo, OH, alkoxy, and alkoxycarbonyl; with certain compds. absent; their pharmaceutically acceptable salts] were prepared as potent inhibitors of MAP kinases, preferably p38 kinase. For example, II was prepared by Pd-cross coupling of 6-(4-bromooxazol-5-yl)-3-isopropyl-[1,2,4]-triazolo[4,3-a]pyridine (preparation given) with 2,5-difluoroboronic acid in the presence of TEA/EtOH/H2O. Selected I had an IC50 <10 μ M in the TNF- α and MAPKAP in vitro assays, and an EC50 <50 mg/kg in the in vivo TNF α assay. I are useful for treating inflammation, osteoarthritis, rheumatoid arthritis, cancer, reperfusion or ischemia in stroke or heart attack, autoimmune diseases and other disorders.

IT **668981-02-0P**, 6-[4-(2,5-Difluorophenyl)oxazol-5-yl]-3-isopropyl[1,2,4]triazolo[4,3-a]pyridine

RL: PAC (Pharmacological activity); PRP (Properties); PUR (Purification or recovery); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(p38 kinase inhibitor; preparation of alkyldifluorophenyloxazolyltriazolopyr idines as MAP kinases, in particular p38 kinase inhibitors)

RN 668981-02-0 CAPLUS

CN 1,2,4-Triazolo[4,3-a]pyridine, 6-[4-(2,5-difluorophenyl)-5-oxazolyl]-3-(1-methylethyl)- (9CI) (CA INDEX NAME)

TT 459448-00-1P, 6-[4-(3,4-Difluorophenyl)oxazol-5-yl]-3-isopropyl-[1,2,4]triazolo[4,3-a]pyridine 668981-03-1P, 6-[4-(2,6-Difluorophenyl)oxazol-5-yl]-3-isopropyl-[1,2,4]triazolo[4,3a]pyridine 668981-04-2P, 6-[4-(2,5-Difluorophenyl)oxazol-5-yl]-3isopropyl-[1,2,4]triazolo[4,3-a]pyridine hydrochloride 668981-05-3P, 6-[4-(2,5-Difluorophenyl)oxazol-5-yl]-3-isopropyl-[1,2,4]triazolo[4,3-a]pyridine methanesulfonate 668981-06-4P, 6-[4-(2,5-Difluorophenyl)oxazol-5-yl]-3-isopropyl-[1,2,4]triazolo[4,3a)pyridine p-toluenesulfonate 668981-07-5P, 6-[4-(2,5-Difluorophenyl)oxazol-5-yl]-3-isopropyl-[1,2,4]triazolo[4,3-a]pyridine sulfate 668990-77-0P, 3-tert-Butyl-6-[4-(2,5difluorophenyl)oxazol-5-yl]-[1,2,4]triazolo[4,3-a]pyridine 668990-78-1P, 3-tert-Butyl-6-[4-(2,4-difluorophenyl)oxazol-5-yl]-[1,2,4]triazolo[4,3-a]pyridine 668990-97-4P, 3-Isopropyl-6-[4-(2,4-difluorophenyl)oxazol-5-yl]-[1,2,4]triazolo[4,3a]pyridine RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (p38 kinase inhibitor; preparation of alkyldifluorophenyloxazolyltriazolopyr idines as MAP kinases, in particular p38 kinase inhibitors) RN459448-00-1 CAPLUS 1,2,4-Triazolo[4,3-a]pyridine, 6-[4-(3,4-difluorophenyl)-5-oxazolyl]-3-(1-CN methylethyl) - (9CI) (CA INDEX NAME)

RN 668981-03-1 CAPLUS
CN 1,2,4-Triazolo[4,3-a]pyridine, 6-[4-(2,6-difluorophenyl)-5-oxazolyl]-3-(1-methylethyl)- (9CI) (CA INDEX NAME)

RN 668981-04-2 CAPLUS

CN 1,2,4-Triazolo[4,3-a]pyridine, 6-[4-(2,5-difluorophenyl)-5-oxazolyl]-3-(1-methylethyl)-, monohydrochloride (9CI) (CA INDEX NAME)

● HCl

RN 668981-05-3 CAPLUS

CN 1,2,4-Triazolo[4,3-a]pyridine, 6-[4-(2,5-difluorophenyl)-5-oxazolyl]-3-(1-methylethyl)-, monomethanesulfonate (9CI) (CA INDEX NAME)

CM 1

CRN 668981-02-0 CMF C18 H14 F2 N4 O

CM 2

CRN 75-75-2 CMF C H4 O3 S

RN 668981-06-4 CAPLUS

CN 1,2,4-Triazolo[4,3-a]pyridine, 6-[4-(2,5-difluorophenyl)-5-oxazolyl]-3-(1-methylethyl)-, mono(4-methylbenzenesulfonate) (9CI) (CA INDEX NAME)

CM 1

CRN 668981-02-0 CMF C18 H14 F2 N4 O

CM 2

CRN 104-15-4 CMF C7 H8 O3 S

RN 668981-07-5 CAPLUS

CN 1,2,4-Triazolo[4,3-a]pyridine, 6-[4-(2,5-difluorophenyl)-5-oxazolyl]-3-(1-methylethyl)-, sulfate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 668981-02-0 CMF C18 H14 F2 N4 O

CM 2

CRN 7664-93-9 CMF H2 O4 S

RN 668990-77-0 CAPLUS

CN 1,2,4-Triazolo[4,3-a]pyridine, 6-[4-(2,5-difluorophenyl)-5-oxazolyl]-3-(1,1-dimethylethyl)- (9CI) (CA INDEX NAME)

RN 668990-78-1 CAPLUS

CN 1,2,4-Triazolo[4,3-a]pyridine, 6-[4-(2,4-difluorophenyl)-5-oxazolyl]-3-(1,1-dimethylethyl)- (9CI) (CA INDEX NAME)

RN 668990-97-4 CAPLUS

CN 1,2,4-Triazolo[4,3-a]pyridine, 6-[4-(2,4-difluorophenyl)-5-oxazolyl]-3-(1-methylethyl)- (9CI) (CA INDEX NAME)

L4 ANSWER 4 OF 8 CAPLUS COPYRIGHT 2005 ACS on STN

AN 2004:372880 CAPLUS

DN 140:391284

TI Preparation of cycloalkyl-[4-(difluorophenyl)-oxazol-5-yl]-triazolopyridines as potent inhibitors of MAP kinases, preferably p38 kinase

IN Dombroski, Mark A.; Letavic, Michael A.; McClure, Kim F.

PA Pfizer Inc, USA

SO U.S. Pat. Appl. Publ., 24 pp.

CODEN: USXXCO

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
ΡI	US 2004087615	A1	20040506	US 2003-649255	20030827
				US 2002-407489P P	20020830

OS MARPAT 140:391284

GΙ

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AB The title compds. [I; R1 = F; s = 2; R2 = (un)substituted cycloalkyl] which are potent inhibitors of MAP kinases, preferably p38 kinase, and therefore useful in the treatment of inflammation, osteoarthritis, rheumatoid arthritis, cancer, reperfusion or ischemia in stroke or heart attack, autoimmune diseases and other disorders, were prepared E.g., a multi-step synthesis of II, starting from 2,5-dibromopyridine, was given.

TT 668990-83-8P, 3-Cyclopropyl-6-[4-(2,5-difluorophenyl)oxazol-5yl] [1,2,4] triazolo [4,3-a] pyridine 668990-84-9P, 6-[4-(2,5-Difluorophenyl)oxazol-5-yl]-3-(1-methylcyclopropyl)[1,2,4]triazo lo[4,3-a]pyridine 668990-85-0P, 6-[4-(2,4-Difluorophenyl)oxazol-5-yl]-3-(1-methylcyclopropyl)[1,2,4]triazolo[4,3-a]pyridine 668990-86-1P, 3-Cyclobutyl-6-[4-(2,5-difluorophenyl)oxazol-5yl][1,2,4]triazolo[4,3-a]pyridine RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of cycloalkyl-[4-(difluorophenyl)-oxazol-5-yl]-triazolopyridines as potent inhibitors of MAP kinases, preferably p38 kinase) 668990-83-8 CAPLUS RN CN 1,2,4-Triazolo[4,3-a]pyridine, 3-cyclopropyl-6-[4-(2,5-difluorophenyl)-5oxazolyl] - (9CI) (CA INDEX NAME)

RN 668990-84-9 CAPLUS
CN 1,2,4-Triazolo[4,3-a]pyridine, 6-[4-(2,5-difluorophenyl)-5-oxazolyl]-3-(1-methylcyclopropyl)- (9CI) (CA INDEX NAME)

RN 668990-85-0 CAPLUS

CN 1,2,4-Triazolo[4,3-a]pyridine, 6-[4-(2,4-difluorophenyl)-5-oxazolyl]-3-(1-methylcyclopropyl)- (9CI) (CA INDEX NAME)

RN 668990-86-1 CAPLUS

CN 1,2,4-Triazolo[4,3-a]pyridine, 3-cyclobutyl-6-[4-(2,5-difluorophenyl)-5-oxazolyl]- (9CI) (CA INDEX NAME)

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L4 ANSWER 5 OF 8 CAPLUS COPYRIGHT 2005 ACS on STN
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AN 2004:331789 CAPLUS

DN 140:357352

TI Preparation of 3-alkyl-6-[4-(trifluorophenyl)-oxazol-5-yl][1,2,4]triazolo[4,3-a]pyridines as potent inhibitors of MAP kinases

IN Dombroski, Mark A.; Letavic, Michael A.; McClure, Kim F.

PA Pfizer Inc, USA

SO U.S. Pat. Appl. Publ., 25 pp. CODEN: USXXCO

DT Patent

LA English

FAN.CNT 1

GΙ

	PATENT NO.	ENT NO. KIND DATE APPLICATION NO.				
PΙ	US 2004077682	A1	20040422	US 2003-649265	20030827	
				US 2002-407089P P	20020830	
OS	MARPAT 140:357352					

AB The title compds. [I; R1 = F; s = 3; R2 = alkyl optionally substituted by halo, OH, alkoxy, etc.] which are potent inhibitors of MAP kinases, preferably p38 kinase, were prepared Thus, reacting [α -(p-toluenesulfonyl)-2,4,5-trifluorobenzyl]isonitrile with 3-isopropyl-[1,2,4]triazolo[4,3-a]pyridine-6-carboxaldehyde (prepns. given) in the presence of K2CO3 in MeCN at 70°C for 22 h afforded 48% II. All compds. I that were tested had an IC50 of <10 μ M in the TNF α and MAPKAP in vitro assays and ED50 of <50 mg/kg in the in vivo TNF α assay. The compds. I are useful in the treatment of inflammation, osteoarthritis, rheumatoid arthritis, cancer, reperfusion or ischemia in stroke or heart attack, autoimmune diseases and other disorders. The pharmaceutical composition comprising the compound I is claimed.

IT 668990-87-2P 668990-90-7P 668990-91-8P 668990-92-9P 668990-93-0P 668990-94-1P

Ι

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of 3-alkyl-6-[4-(trifluorophenyl)-oxazol-5-yl][1,2,4]triazolo[4,3-a]pyridines as potent inhibitors of MAP kinases)
668990-87-2 CAPLUS

CN 1,2,4-Triazolo[4,3-a]pyridine, 3-(1-methylethyl)-6-[4-(2,4,5-trifluorophenyl)-5-oxazolyl]- (9CI) (CA INDEX NAME)

RN

RN 668990-90-7 CAPLUS

CN 1,2,4-Triazolo[4,3-a]pyridine, 3-(1-methylethyl)-6-[4-(2,3,4-trifluorophenyl)-5-oxazolyl]- (9CI) (CA INDEX NAME)

RN 668990-91-8 CAPLUS

CN 1,2,4-Triazolo[4,3-a]pyridine, 3-(1-methylethyl)-6-[4-(2,3,5-trifluorophenyl)-5-oxazolyl]- (9CI) (CA INDEX NAME)

RN 668990-92-9 CAPLUS

CN 1,2,4-Triazolo[4,3-a]pyridine, 3-(1-methylethyl)-6-[4-(2,4,6-trifluorophenyl)-5-oxazolyl]- (9CI) (CA INDEX NAME)

RN 668990-93-0 CAPLUS

CN 1,2,4-Triazolo[4,3-a]pyridine, 3-(1-methylethyl)-6-[4-(3,4,5-trifluorophenyl)-5-oxazolyl]- (9CI) (CA INDEX NAME)

RN 668990-94-1 CAPLUS

CN 1,2,4-Triazolo[4,3-a] pyridine, 3-(1,1-dimethylethyl)-6-[4-(2,4,5-a)]trifluorophenyl)-5-oxazolyl]- (9CI) (CA INDEX NAME)

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ANSWER 6 OF 8 CAPLUS COPYRIGHT 2005 ACS on STN
L4
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ΑN 2004:203834 CAPLUS

140:235722 DN

Preparation of 6-[4-(di- or trifluorophenyl)oxazol-5-ΤI yl][1,2,4]triazolo[4,3-a]pyridine as inhibitors of mitogen-activated protein (MAP) kinases

IN Dombroski, Mark Anthony; Letavic, Michael Anthony; McClure, Kim Francis

PΑ Pfizer Products Inc., USA

PCT Int. Appl., 87 pp. CODEN: PIXXD2 SO

DTPatent

LA English

FAN.CNT 1

1.7414	CIVI	1																
	PAT	rent 1	NO.			KIN	D	DATE			APPL	ICAT	ION	NO.		D	ATE	
							-		- -							-		-
ΡI	WO	2004	0204	40		A1 20040311		1	WO 2	003-	IB38	47		20030819				
		W:	ΑE,	AG,	AL,	AM,	AT,	AU,	ΑZ,	BA,	BB,	BG,	BR,	BY,	BZ,	CA,	CH,	CN,
			CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	ES,	FI,	GB,	GD,	GE,	GH,
			GM,	HR,	ΗU,	ID,	ΙL,	·IN,	IS,	JP,	ΚE,	KG,	ΚP,	KR,	KZ,	LC,	LK,	LR,
			LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NI,	NO,	NZ,	OM,
			PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,	ŞL,	TJ,	TM,	TN,	TR,	TT,
			TZ,	UA,	UG,	US,	UZ,	VC,	VN,	YU,	ZA,	ZM,	ZW					
		RW:	GH,	GM,	KΕ,	LS,	MW,	MZ,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,	ΑŻ,	BY,
			KG,	ΚŻ,	MD,	RU,	ТJ,	TM,	ΑT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	ΕĒ,	ES,
									ΙT,									
			BF,	BJ,	CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,	MR,	NE,	SN,	TD,	TG
										Į	JS 2	002-	4071	77P]	P 20	0020	830
	US	2004	0539	58		A1		2004	0318	I	JS 2	003-0	6492	36		20	0030	327
										1	JS 2	002-	4071	77P	1	P 20	0020	330

Ι

The present invention relates to novel triazolo-pyridines of the formula (I) [wherein R1 is fluoro; m = 2,3; R2 is C3-6 cycloalkyl optionally substituted by one or two moieties independently selected from the group consisting of halo, C1-4 alkyl, hydroxy, C1-6 alkoxy and C1-6 alkyl-C0-0; or R2 is C1-6 alkyl optionally substituted by one or two moieties independently selected from the group consisting of halo, C1-6 alkyl, hydroxy, C1-6 alkoxy and C1-6 alkyl-C0-0; with the proviso that said compound of this formula cannot be 6-[4-(2,4-difluorophenyl)-oxazol-5-yl]-3-isopropyl-[1,2,4]triazolo[4,3-a]pyridine or 6-[4-(3,4-difluorophenyl)-oxazol-5-yl]-3-isopropyl-[1,2,4]triazolo[4,3-a]pyridine] or pharmaceutically acceptable salt thereof; to intermediates for their preparation, and to pharmaceutical compns. containing them and to their medicinal

The compds. I are potent inhibitors of mitogen-activated protein use. (MAP) kinases, preferably p38 kinase. They are useful in the treatment of inflammation, osteoarthritis, rheumatoid arthritis, cancer, reperfusion or ischemia in stroke or heart attack, autoimmune diseases and other disorders. Thus, a mixture of $[\alpha-(p-toluenesulfony1)-2,6$ difluorobenzyl]isonitrile (1.79 g, 5.84 mmol), 3-isopropyl-[1,2,4]triazolo[4,3-a]-6-pyridinecarboxaldehyde > (1.10 g, 5.84 mmol), potassium carbonate (1.05 g, 7.59 mmol) and acetonitrile (17.5 mL) was refluxed for 22 h to give, after workup and silica gel chromatog., 6-[4-(2,6-difluorophenyl)oxazol-5-yl]-3-isopropyl-[1,2,4]triazolo[4,3a)pyridine as a yellow solid. A tablet formulation containing 6-[4-(2,5-difluorophenyl)oxazol-5-yl]-3-isopropyl-[1,2,4]triazolo[4,3a]pyridine was prepared, which can be administered to a human from one to four times a day for inhibiting cartilage damage or treating osteoarthritis.

668981-02-0P

TT

RL: PAC (Pharmacological activity); PRP (Properties); PUR (Purification or recovery); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(X-ray crystalog. data and polymorphism; preparation of [(di- and trifluorophenyl)oxazolyl]triazolopyridine as p38 kinase inhibitors and therapeutic agents)

RN 668981-02-0 CAPLUS

CN 1,2,4-Triazolo[4,3-a]pyridine, 6-[4-(2,5-difluorophenyl)-5-oxazolyl]-3-(1-methylethyl)- (9CI) (CA INDEX NAME)

IT 668990-79-2P, 3-Cyclopropyl-6-[4-(2,4-difluorophenyl)oxazol-5-yl] [1,2,4]triazolo[4,3-a]pyridine
 RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP
 (Preparation); RACT (Reactant or reagent); USES (Uses)
 (intermediate; preparation of [(di- and trifluorophenyl)oxazolyl]triazolopyr idine as p38 kinase inhibitors and therapeutic agents)
RN 668990-79-2 CAPLUS
CN 1,2,4-Triazolo[4,3-a]pyridine, 3-cyclopropyl-6-[4-(2,4-difluorophenyl)-5-oxazolyl]- (9CI) (CA INDEX NAME)

ΙT 668981-03-1P, 6-[4-(2,6-Difluorophenyl)oxazol-5-yl]-3-isopropyl-[1,2,4]triazolo[4,3-a]pyridine 668981-04-2P, 6-[4-(2,5-Difluorophenyl)oxazol-5-yl]-3-isopropyl-[1,2,4]triazolo[4,3a]pyridine hydrochloride 668981-05-3P, 6-[4-(2,5-Difluorophenyl)oxazol-5-yl]-3-isopropyl-[1,2,4]triazolo[4,3-a]pyridine methanesulfonate 668981-06-4P, 6-[4-(2,5-Difluorophenyl)oxazol-5yl]-3-isopropyl-[1,2,4]triazolo[4,3-a]pyridine p-toluenesulfonate 668981-07-5P, 6-[4-(2,5-Difluorophenyl)oxazol-5-yl]-3-isopropyl-[1,2,4]triazolo[4,3-a]pyridine sulfate 668990-77-0P, 3-tert-Butyl-6-[4-(2,5-difluorophenyl)oxazol-5-yl]-[1,2,4]triazolo[4,3a)pyridine 668990-78-1P, 3-tert-Butyl-6-[4-(2,4difluorophenyl)oxazol-5-yl][1,2,4]triazolo[4,3-a]pyridine 668990-83-8P, 3-Cyclopropyl-6-[4-(2,5-difluorophenyl)oxazol-5yl][1,2,4]triazolo[4,3-a]pyridine 668990-84-9P, 6-[4-(2,5-Difluorophenyl)oxazol-5-yl]-3-(1-methylcyclopropyl)-[1,2,4]triazolo[4,3-a]pyridine 668990-85-0P, 6-[4-(2,4-Difluorophenyl)oxazol-5-yl]-3-(1-methylcyclopropyl)-[1,2,4]triazolo[4,3-a]pyridine 668990-86-1P, 3-Cyclobuty1-6-[4-(2,5-difluorophenyl)oxazol-5-yl]-[1,2,4]triazolo[4,3a)pyridine 668990-87-2P, 3-Isopropyl-6-[4-(2,4,5trifluorophenyl)oxazol-5-yl]-[1,2,4]triazolo[4,3-a]pyridine 668990-90-7P, 3-Isopropyl-6-[4-(2,3,4-trifluorophenyl)oxazol-5yl] [1,2,4] triazolo [4,3-a] pyridine 668990-91-8P,

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3-Isopropyl-6-[4-(2,3,5-trifluorophenyl)oxazol-5-yl]-[1,2,4]triazolo[4,3-
     a]pyridine 668990-92-9P, 3-Isopropyl-6-[4-(2,4,6-
     trifluorophenyl)oxazol-5-yl]-[1,2,4]triazolo[4,3-a]pyridine
     668990-93-0P, 3-Isopropyl-6-[4-(3,4,5-trifluorophenyl)oxazol-5-yl]-
     [1,2,4]triazolo[4,3-a]pyridine 668990-94-1P,
     3-tert-Butyl-6-[4-(2,4,5-trifluorophenyl)oxazol-5-yl]-[1,2,4]triazolo[4,3-
     a)pyridine 668990-95-2P, 3-Cyclopropyl-6-[4-(2,4,5-
     trifluorophenyl)oxazol-5-yl]-[1,2,4]triazolo[4,3-a]pyridine
     668990-96-3P, 3-(1-Methylcyclopropyl)-6-[4-(2,4,5-
     trifluorophenyl)oxazol-5-yl]-[1,2,4]triazolo[4,3-a]pyridine
     668990-97-4P, 3-Isopropyl-6-[4-(2,4-difluorophenyl)oxazol-5-
     yl] [1,2,4] triazolo[4,3-a] pyridine
     RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
     (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
     (Uses)
        (preparation of [(di- and trifluorophenyl)oxazolyl]triazolopyridine as p38
        kinase inhibitors and therapeutic agents)
RM
     668981-03-1 CAPLUS
CN
     1,2,4-Triazolo[4,3-a]pyridine, 6-[4-(2,6-difluorophenyl)-5-oxazolyl]-3-(1-
     methylethyl) - (9CI) (CA INDEX NAME)
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RN 668981-04-2 CAPLUS
CN 1,2,4-Triazolo[4,3-a]pyridine, 6-[4-(2,5-difluorophenyl)-5-oxazolyl]-3-(1-methylethyl)-, monohydrochloride (9CI) (CA INDEX NAME)

● HCl

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RN 668981-05-3 CAPLUS
CN 1,2,4-Triazolo[4,3-a]pyridine, 6-[4-(2,5-difluorophenyl)-5-oxazolyl]-3-(1-methylethyl)-, monomethanesulfonate (9CI) (CA INDEX NAME)

CM 1

CRN 668981-02-0
CMF C18 H14 F2 N4 O
```

CM 2

CRN 75-75-2 CMF C H4 O3 S

RN 668981-06-4 CAPLUS

CN 1,2,4-Triazolo[4,3-a]pyridine, 6-[4-(2,5-difluorophenyl)-5-oxazolyl]-3-(1-methylethyl)-, mono(4-methylbenzenesulfonate) (9CI) (CA INDEX NAME)

CM 1

CRN 668981-02-0 CMF C18 H14 F2 N4 O

CM 2

CRN 104-15-4 CMF C7 H8 O3 S

RN 668981-07-5 CAPLUS

CN 1,2,4-Triazolo[4,3-a]pyridine, 6-[4-(2,5-difluorophenyl)-5-oxazolyl]-3-(1-methylethyl)-, sulfate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 668981-02-0 CMF C18 H14 F2 N4 O

CM 2

CRN 7664-93-9 CMF H2 O4 S

RN 668990-77-0 CAPLUS

CN 1,2,4-Triazolo[4,3-a]pyridine, 6-[4-(2,5-difluorophenyl)-5-oxazolyl]-3-(1,1-dimethylethyl)- (9CI) (CA INDEX NAME)

CN 1,2,4-Triazolo[4,3-a]pyridine, 6-[4-(2,4-difluorophenyl)-5-oxazolyl]-3-(1,1-dimethylethyl)- (9CI) (CA INDEX NAME)

RN 668990-83-8 CAPLUS

CN 1,2,4-Triazolo[4,3-a]pyridine, 3-cyclopropyl-6-[4-(2,5-difluorophenyl)-5-oxazolyl]- (9CI) (CA INDEX NAME)

RN 668990-84-9 CAPLUS

CN 1,2,4-Triazolo[4,3-a]pyridine, 6-[4-(2,5-difluorophenyl)-5-oxazolyl]-3-(1-methylcyclopropyl)- (9CI) (CA INDEX NAME)

RN 668990-85-0 CAPLUS

CN 1,2,4-Triazolo[4,3-a]pyridine, 6-[4-(2,4-difluorophenyl)-5-oxazolyl]-3-(1-methylcyclopropyl)- (9CI) (CA INDEX NAME)

RN 668990-86-1 CAPLUS

CN 1,2,4-Triazolo[4,3-a]pyridine, 3-cyclobutyl-6-[4-(2,5-difluorophenyl)-5-oxazolyl]- (9CI) (CA INDEX NAME)

RN 668990-87-2 CAPLUS

I,2,4-Triazolo[4,3-a]pyridine, 3-(1-methylethyl)-6-[4-(2,4,5-trifluorophenyl)-5-oxazolyl]- (9CI) (CA INDEX NAME)

RN 668990-90-7 CAPLUS

CN 1,2,4-Triazolo[4,3-a]pyridine, 3-(1-methylethyl)-6-[4-(2,3,4-trifluorophenyl)-5-oxazolyl]- (9CI) (CA INDEX NAME)

RN 668990-91-8 CAPLUS

CN 1,2,4-Triazolo[4,3-a]pyridine, 3-(1-methylethyl)-6-[4-(2,3,5-trifluorophenyl)-5-oxazolyl]- (9CI) (CA INDEX NAME)

RN 668990-92-9 CAPLUS

CN 1,2,4-Triazolo[4,3-a]pyridine, 3-(1-methylethyl)-6-[4-(2,4,6-trifluorophenyl)-5-oxazolyl]- (9CI) (CA INDEX NAME)

RN 668990-93-0 CAPLUS

CN 1,2,4-Triazolo[4,3-a]pyridine, 3-(1-methylethyl)-6-[4-(3,4,5-trifluorophenyl)-5-oxazolyl]- (9CI) (CA INDEX NAME)

RN 668990-94-1 CAPLUS

CN 1,2,4-Triazolo[4,3-a]pyridine, 3-(1,1-dimethylethyl)-6-[4-(2,4,5-trifluorophenyl)-5-oxazolyl]- (9CI) (CA INDEX NAME)

RN 668990-95-2 CAPLUS

CN 1,2,4-Triazolo[4,3-a]pyridine, 3-cyclopropyl-6-[4-(2,4,5-trifluorophenyl)-5-oxazolyl]- (9CI) (CA INDEX NAME)

RN 668990-96-3 CAPLUS

CN 1,2,4-Triazolo[4,3-a]pyridine, 3-(1-methylcyclopropyl)-6-[4-(2,4,5-trifluorophenyl)-5-oxazolyl]- (9CI) (CA INDEX NAME)

RN 668990-97-4 CAPLUS

CN 1,2,4-Triazolo[4,3-a]pyridine, 6-[4-(2,4-difluorophenyl)-5-oxazolyl]-3-(1-methylethyl)- (9CI) (CA INDEX NAME)

RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 7 OF 8 CAPLUS COPYRIGHT 2005 ACS on STN

AN 2004:203832 CAPLUS

DN 140:235721

TI Novel processes and intermediates for preparing [1,2,4]triazolo[4,3-a]pyridines

IN Buzon, Richard Allen Sr.; Castaldi, Michael James; Li, Zhengong Bryan; Ripin, David Harold Brown; Tao, Yong

PA Pfizer Products Inc., USA

SO PCT Int. Appl., 70 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

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	PAT	CENT :	NO.			KIN	D	DATE			APPL	ICAT	ION	NO.		D	ATE		
							-		-			- -				_			
PI	WO	2004	0204	38		A2		2004	0311	1	WO 2	003-	IB36	69		2	00308	818	
	WO	2004	0204	38		A 3		2004	0722										
		W:	ΑE,	AG,	AL,	AM,	ΑT,	AU,	ΑZ,	BA,	BB,	BG,	BR,	BY,	ΒZ,	CA,	CH,	CN,	
			CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	ES,	FI,	GB,	GD,	GE,	GH,	
			GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KΕ,	KG,	ΚP,	KR,	ΚZ,	LC,	LK,	LR,	
			LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NI,	NO,	NZ,	OM,	
			PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	ТJ,	TM,	TN,	TR,	TT,	
			TZ,	UA,	UG,	US,	UZ,	VC,	VN,	YU,	ZA,	ZM,	ZW						
		RW:	GH,	GM,	ΚE,	LS,	MW,	MZ,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,	AZ,	BY,	
			KG,	ΚZ,	MD,	RU,	TJ,	TM,	AT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	
			FΙ,	FR,	GB,	GR,	HU,	ΙE,	ΙT,	LU,	MC,	NL,	PT,	RO,	SE,	SI,	SK,	TR,	

BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

US 2002-407085P P 20020830
US 2004053959 A1 20040318 US 2003-649247 20030827
US 2002-407085P P 20020830

OS CASREACT 140:235721; MARPAT 140:235721 GI

AΒ The present invention relates and intermediates to a novel process for preparing triazolo-pyridines of the formula (I) [R1 = H, cyano, each (un) substituted C1-6 alkyl, C2-6 alkenyl, C2-6 alkynyl, C3-10 cycloalkyl, Ph, C1-10 heteroaryl, C1-10 heterocyclyl or NH2; R3 = halo, C1-6 alkyl, C2-6 alkenyl, C2-6 alkynyl, perhalo-C1-6 alkyl, Ph, C1-10 heteroaryl, C1-10 heterocyclyl, C3-10 cycloalkyl, HO, C1-6 alkoxy, perhalo-C1-10 alkoxy, PhO, C1-10 heteroaryloxy, C1-10 heterocyclyloxy-C3-10 cycloalkyloxy, C1-6 alkylthio, C1-16 alkylsulfonyl, C1-6 alkylsulfamoyl, amino, mono - or di(C1-6 alkyl)amino, C1-6 sulfonylamino, C1-6 alkyl-carbonylamino, etc.; or two adjacent R2 taken together with the carbon atoms to which they are attached to form a five to six membered carbocyclic or heterocyclic ring; m = an integer from 0-5; R4 = H, F, C1, R5-B-(CH2)n-; n = n integer from 0-6; B = a bond, (CHR6), 0, S, SO2, CO, O-CO, CO-O, CO-NR6, R6N, R6NSO2, R6NCO, SO2NR6, R6NCONR7, O-CONR6 or R6NCO-O; R5 = H, CF3, cyano, each (un) substituted Ph, C1-10 heterocyclyl, C1-10 heteroaryl, or C3-10 cycloalkyl, etc.; R6 = H, C1-6 alkylsulfonyl, C1-6 alkyl] or acceptable salts thereof, e.g., comprising reacting 6-(oxazol-5-yl)[1,2,4]triazolo[4,3-a]pyridines (II) (L = a leaving group and R1 and R4 are as defined above) with phenylboronoic acids (III) and a transition metal catalyst. The compds. I prepared by the methods of the present invention are potent inhibitors of mitogen-activated protein (MAP) kinases, preferably p38 kinase. They are useful in the treatment of inflammation, osteoarthritis, rheumatoid arthritis, cancer, reperfusion or ischemia in stroke or heart attack, autoimmune diseases and other disorders. Thus, 6-(4-bromooxazol-5-yl)-3-isopropyl-[1,2,4]triazolo[4,3a)pyridine (33.0 g, 0.107 mol), 2,5-difluorophenylboronic acid (25.34 q, 0.1605 mol), Pd(PPh3)4 (12.36 g, 0.0107 mol), Et3N (22.37 mL, 0.1605 mol), 2B ethanol (495 mL), and water (33 mL), were added to a 2 L 4 neck round bottom flask (equipped with mech. stirring, nitrogen, heating mantle, temperature controller, and a condenser), stirred while heating to 65 to 70°, and kept stirring overnight at .apprx.70°. Two addnl. difluorophenylboronic acid (8.5 g, 0.054 mol) and Et3N (7.53 mL, 0.054

ΙT

mol), were added and each time the reaction was allowed to proceed overnight at 70°. Toluene (30 mL) was added and the reaction was allowed to go overnight once again at 70°, treated with H2O (495 mL), and pot-granulated for 4 h at 20 to 25°. The solids were collected by vacuum filtration, washed with 2B ethanol/H2O (50:50) (25 mL of each), and dried in a vacuum oven at 45° for 4 ho under full vacuum to afford 14.4 g 3-isopropyl-6-[4-(2,5-difluorophenyl)oxazol-5-yl]-[1,2,4]triazolo[4,3-a]pyridine (40.6% yield, 93.4% purity by HPLC).
668981-02-0P, 6-[4-(2,5-Difluorophenyl)oxazol-5-yl]-3-isopropyl-[1,2,4]triazolo[4,3-a]pyridine
RL: IMF (Industrial manufacture); PAC (Pharmacological activity); PUR (Purification or recovery); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of triazolopyridines as p38 kinase inhibitors by Suzuki

(preparation of triazolopyridines as p38 kinase inhibitors by Suzuki coupling of phenylboronic acid with (bromooxazolyl)triazolopyridine derivative or cyclocondensation of $\alpha\text{-tosylbenzyl}$ isonitrile with triazolopyridinecarboxaldehyde)

RN 668981-02-0 CAPLUS

CN 1,2,4-Triazolo[4,3-a]pyridine, 6-[4-(2,5-difluorophenyl)-5-oxazolyl]-3-(1-methylethyl)- (9CI) (CA INDEX NAME)

IT 668981-03-1P, 6-[4-(2,6-Difluorophenyl)oxazol-5-yl]-3-isopropyl[1,2,4]triazolo[4,3-a]pyridine 668981-04-2P,
6-[4-(2,5-Difluorophenyl)oxazol-5-yl]-3-isopropyl-[1,2,4]triazolo[4,3-a]pyridine hydrochloride 668981-05-3P, 6-[4-(2,5-Difluorophenyl)oxazol-5-yl]-3-isopropyl-[1,2,4]triazolo[4,3-a]pyridine
methanesulfonate 668981-06-4P, 6-[4-(2,5-Difluorophenyl)oxazol-5yl]-3-isopropyl-[1,2,4]triazolo[4,3-a]pyridine p-toluenesulfonate
668981-07-5P, 6-[4-(2,5-Difluorophenyl)oxazol-5-yl]-3-isopropyl[1,2,4]triazol[4,3-a]pyridine sulfate
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
(Uses)

(preparation of triazolopyridines as p38 kinase inhibitors by Suzuki coupling of phenylboronic acid with (bromooxazolyl)triazolopyridine derivative or cyclocondensation of $\alpha\text{-tosylbenzyl}$ isonitrile with triazolopyridinecarboxaldehyde)

RN 668981-03-1 CAPLUS

CN 1,2,4-Triazolo[4,3-a]pyridine, 6-[4-(2,6-difluorophenyl)-5-oxazolyl]-3-(1-methylethyl)- (9CI) (CA INDEX NAME)

RN 668981-04-2 CAPLUS

CN 1,2,4-Triazolo[4,3-a]pyridine, 6-[4-(2,5-difluorophenyl)-5-oxazolyl]-3-(1-methylethyl)-, monohydrochloride (9CI) (CA INDEX NAME)

● HCl

RN 668981-05-3 CAPLUS

CN 1,2,4-Triazolo[4,3-a]pyridine, 6-[4-(2,5-difluorophenyl)-5-oxazolyl]-3-(1-methylethyl)-, monomethanesulfonate (9CI) (CA INDEX NAME)

CM 1

CRN 668981-02-0 CMF C18 H14 F2 N4 O

CM 2

CRN 75-75-2 CMF C H4 O3 S

RN 668981-06-4 CAPLUS

CN 1,2,4-Triazolo[4,3-a]pyridine, 6-[4-(2,5-difluorophenyl)-5-oxazolyl]-3-(1-methylethyl)-, mono(4-methylbenzenesulfonate) (9CI) (CA INDEX NAME)

CM 1

CRN 668981-02-0 CMF C18 H14 F2 N4 O

CM 2

CRN 104-15-4 CMF C7 H8 O3 S

RN 668981-07-5 CAPLUS

1,2,4-Triazolo[4,3-a]pyridine, 6-[4-(2,5-difluorophenyl)-5-oxazolyl]-3-(1-methylethyl)-, sulfate (1:1) (9CI) (CA INDEX NAME)

CM 1

CN

CRN 668981-02-0 CMF C18 H14 F2 N4 O

CM 2

CRN 7664-93-9 CMF H2 O4 S

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L4 ANSWER 8 OF 8 CAPLUS COPYRIGHT 2005 ACS on STN
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AN 2002:716275 CAPLUS

DN 137:232658

TI Preparation of 6-(phenylheterocyclyl)-[1,2,4]triazolo[4,3-a]pyridines as anti-inflammatory agents

IN Dombroski, Mark Anthony; Duplantier, Allen Jacob; Laird, Ellen Ruth; Letavic, Michael Anthony; McClure, Kim Francis

PA Pfizer Products Inc., USA

SO PCT Int. Appl., 111 pp. CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

L'AIV.	CIVI															
	PATENT	NO.		KIN	D	DATE		i	APPL	ICAT	ION	NO.		D.	ATE	
ΡI	WO 2002	072579		 A1	-	2002	0919	,	 WO 2	002-	 TB42	 4		- 2	0020	208
		AE, A														
			R, CU,													
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		LS, L														
			r, RO,													
		UA, U														
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		CY, D														
		BF, B														
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	CA 2440	222		AA		2002	0919			002-2					0020	
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	EP 1370	559		A1		2003	1217							_	00202	
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							•	•		001-2	27484	40P	I	2 2	00103	309

				WO	2002-IB424	W	20020208
EE	200300437	Α	20040216	EE	2003-437		20020208
				US	2001-274840P	P	20010309
				WO	2002-IB424	W	20020208
BR	2002007990	Α	20040427	BR	2002-7990		20020208
				US	2001-274840P	P	20010309
				WO	2002-IB424	W	20020208
JP	2004522799	T2	20040729	JР	2002-571495		20020208
				US	2001-274840P	P	20010309
				WO	2002-IB424	W	20020208
ΝZ	526528	Α	20050225	NZ	2002-526528		20020208
				US	2001-274840P	P	20010309
				WO	2002-IB424	W	20020208
US	2003096838	A1	20030522	US	2002-94760		20020311
US	6696464	B2	20040224				
				US	2001-274840P	P	20010309
zA	2003004983	A	20040629	zA	2003-4983		20030626
				US	2001-274840P	Р	20010309
BG	108133	Α	20040930	BG	2003-108133		20030825
				US	2001-274840P	P	20010309
				WO	2002-IB424	W	20020208
ИО	2003003969	A	20031013	ИО	2003-3969		20030908
				US	2001-274840P	P	20010309
				MO	2002-IB424	M	20020208
	. D. M. 1 . D. 0 . 0 . C . C						

OS MARPAT 137:232658 GI

AΒ Title compds. I [wherein Het = (un) substituted pyrrolyl, imidazolyl, pyrazolyl, oxazolyl, isoxazolyl, thiazolyl, or isothiazolyl; R2 = H, alkenyl, alkynyl, or (un) substituted (cyclo) alkyl, Ph, heteroaryl, or heterocyclyl, or amino; R3 = halo, (cyclo)alkyl(oxy), (perhalo)alkyl, alkenyl, alkynyl, Ph, heteroaryl(oxy), heterocyclyl(oxy), OH, (perhalo)alkoxy, PhO, alkylthio, alkylsulfonyl, alkylaminosulfonyl, NO2, (un) substituted amino, carbamoyl, etc.; n = 0-5; or pharmaceutically acceptable salts thereof] were prepared as potent inhibitors of MAP kinases, preferably p38 kinase (no data). For example, 6-chloronicotinic acid was condensed with N,O-dimethylhydroxylamine \bullet HCl (96%). Treatment of the amide with (i-Bu)2AlH gave the aldehyde (24%), which was coupled with (phenyl) (p-tolylsulfonyl) methylisocyanide to afforded 2-chloro-5-(4phenyloxazol-5-yl)pyridine (71%). Conversion to the hydrazine (100%), followed by coupling with isobutyryl chloride and cyclization using POCl3 (32%), produced II. I are useful in the treatment of inflammation, osteoarthritis, rheumatoid arthritis, cancer, reperfusion or ischemia in stroke or heart attack, autoimmune diseases, and other disorders (no data).

II

IT 459447-61-1P, 3-Isopropyl-6-(4-phenyloxazol-5-yl)[1,2,4]triazolo[4,3-a]pyridine 459447-64-4P,
3-Ethyl-6-(4-m-tolyloxazol-5-yl)-[1,2,4]triazolo[4,3-a]pyridine
459447-66-6P, 3-Cyclopropyl-6-[4-(4-fluorophenyl)oxazol-5-yl][1,2,4]triazolo[4,3-a]pyridine 459447-67-7P,
3-Cyclobutyl-6-[4-(4-fluorophenyl)oxazol-5-yl]-[1,2,4]triazolo[4,3-a]

```
a)pyridine 459447-69-9P, 3-Difluoromethyl-6-(4-phenyloxazol-5-
yl) - [1,2,4] triazolo [4,3-a] pyridine 459447-71-3P,
3-(Isoxazol-5-y1)-6-(4-phenyloxazol-5-y1)-[1,2,4]triazolo[4,3-a]pyridine
459447-72-4P, 6-(4-Phenyloxazol-5-yl)-3-(2,2,2-trifluoroethyl)-
[1,2,4] triazolo[4,3-a] pyridine 459447-73-5P,
3-Cyclobutyl-6-(4-phenyloxazol-5-yl)-[1,2,4]triazolo[4,3-a]pyridine
459447-74-6P, 3-Cyclopropyl-6-(4-phenyloxazol-5-yl)-
[1,2,4]triazolo[4,3-a]pyridine 459447-75-7P,
3-Ethyl-6-(4-phenyloxazol-5-yl)-[1,2,4]triazolo[4,3-a]pyridine
459447-76-8P, 3-Ethyl-6-[4-(4-fluorophenyl)oxazol-5-yl]-
[1,2,4]triazolo[4,3-a]pyridine 459447-77-9P,
6-[4-(4-Fluorophenyl)oxazol-5-yl]-3-isopropyl-[1,2,4]triazolo[4,3-
a]pyridine 459447-78-0P, 3-Cyclobutyl-6-(4-m-tolyloxazol-5-yl)-
[1,2,4] triazolo[4,3-a] pyridine 459447-79-1P,
3-Isopropyl-6-(4-m-tolyloxazol-5-yl)-[1,2,4]triazolo[4,3-a]pyridine
459447-80-4P, 6-[4-(4-Fluoro-3-methylphenyl)oxazol-5-yl]-3-
isopropyl-[1,2,4]triazolo[4,3-a]pyridine 459447-82-6P,
3-Cyclopropyl-6-[4-(4-fluoro-3-methylphenyl)oxazol-5-yl]-
[1,2,4]triazolo[4,3-a]pyridine 459447-83-7P,
6-[4-(4-Fluorophenyl)oxazol-5-yl]-3-phenyl-[1,2,4]triazolo[4,3-a]pyridine
459447-84-8P, 3-Isopropyl-6-(2-methyl-4-phenyloxazol-5-yl)-
[1,2,4] triazolo[4,3-a] pyridine 459447-88-2P,
6-[4-(4-Fluorophenyl)-2-methyloxazol-5-yl]-3-isopropyl-[1,2,4]triazolo[4,3-
a]-pyridine 459447-89-3P, [6-[4-(4-Fluorophenyl)oxazol-5-yl]-
[1,2,4]triazol[4,3-a]pyridin-3-yl]acetic acid ethyl ester
459447-90-6P, 3-(2-Chlorophenyl)-6-[4-(m-tolyl)oxazol-5-yl]-
[1,2,4]triazol[4,3-a]pyridine 459447-91-7P, 6-[4-(2-Fluoro-5-
methylphenyl)oxazol-5-yl]-[1,2,4]triazol[4,3-a]pyridine
459447-92-8P 459447-93-9P, 3-(2-Fluorophenyl)-6-[4-(m-
tolyl)oxazol-5-yl]-[1,2,4]triazol[4,3-a]pyridine 459447-94-0P,
[6-[4-(4-Fluorophenyl)oxazol-5-yl]-[1,2,4]triazol[4,3-a]pyridin-3-
yl]dimethylamine 459447-95-1P, 6-[4-(4-Fluoro-3-
methylphenyl)oxazol-5-yl]-3-phenyl-[1,2,4]triazol[4,3-a]pyridine
459447-96-2P, 6-[4-(3-Chloro-4-fluorophenyl)oxazol-5-yl]-3-
isopropyl-[1,2,4]triazol[4,3-a]pyridine 459447-97-3P,
6-[4-(3-Fluorophenyl)oxazol-5-yl]-3-isopropyl-[1,2,4]triazol[4,3-
a)pyridine 459447-98-4P, 3-(2-Chlorophenyl)-6-[4-(4-
fluorophenyl)oxazol-5-yl]-[1,2,4]triazol[4,3-a]pyridine
459448-00-1P, 6-[4-(3,4-Difluorophenyl)oxazol-5-yl]-3-isopropyl-
[1,2,4]triazol[4,3-a]pyridine 459448-01-2P, 6-[4-(4-
Fluorophenyl)-2-methyloxazol-5-yl]-3-phenyl-[1,2,4]triazol[4,3-a]pyridine
459448-02-3P, 6-[4-(3-Fluorophenyl)oxazol-5-yl]-3-phenyl-
[1,2,4] triazol [4,3-a] pyridine
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
(Uses)
   (anti-inflammatory agent; preparation of (phenylheterocyclyl)triazolopyridin
   es as anti-inflammatory agents)
459447-61-1 CAPLUS
1,2,4-Triazolo[4,3-a]pyridine, 3-(1-methylethyl)-6-(4-phenyl-5-oxazolyl)-
(9CI) (CA INDEX NAME)
```

RΝ

CN

RN 459447-64-4 CAPLUS

CN 1,2,4-Triazolo[4,3-a]pyridine, 3-ethyl-6-[4-(3-methylphenyl)-5-oxazolyl]-(9CI) (CA INDEX NAME)

RN 459447-66-6 CAPLUS

CN 1,2,4-Triazolo[4,3-a]pyridine, 3-cyclopropyl-6-[4-(4-fluorophenyl)-5-oxazolyl]- (9CI) (CA INDEX NAME)

RN 459447-67-7 CAPLUS

CN 1,2,4-Triazolo[4,3-a]pyridine, 3-cyclobutyl-6-[4-(4-fluorophenyl)-5-oxazolyl]- (9CI) (CA INDEX NAME)

RN 459447-69-9 CAPLUS

CN 1,2,4-Triazolo[4,3-a]pyridine, 3-(difluoromethyl)-6-(4-phenyl-5-oxazolyl)-(9CI) (CA INDEX NAME)

RN 459447-71-3 CAPLUS

CN 1,2,4-Triazolo[4,3-a]pyridine, 3-(5-isoxazolyl)-6-(4-phenyl-5-oxazolyl)-(9CI) (CA INDEX NAME)

RN 459447-72-4 CAPLUS

CN 1,2,4-Triazolo[4,3-a]pyridine, 6-(4-phenyl-5-oxazolyl)-3-(2,2,2-trifluoroethyl)- (9CI) (CA INDEX NAME)

RN 459447-73-5 CAPLUS

CN 1,2,4-Triazolo[4,3-a]pyridine, 3-cyclobutyl-6-(4-phenyl-5-oxazolyl)- (9CI) (CA INDEX NAME)

RN 459447-74-6 CAPLUS

CN 1,2,4-Triazolo[4,3-a]pyridine, 3-cyclopropyl-6-(4-phenyl-5-oxazolyl)-(9CI) (CA INDEX NAME)

RN 459447-75-7 CAPLUS

CN 1,2,4-Triazolo[4,3-a]pyridine, 3-ethyl-6-(4-phenyl-5-oxazolyl)- (9CI) (CA INDEX NAME)

RN 459447-76-8 CAPLUS

CN 1,2,4-Triazolo[4,3-a]pyridine, 3-ethyl-6-[4-(4-fluorophenyl)-5-oxazolyl]-(9CI) (CA INDEX NAME)

RN 459447-77-9 CAPLUS

CN 1,2,4-Triazolo[4,3-a]pyridine, 6-[4-(4-fluorophenyl)-5-oxazolyl]-3-(1-methylethyl)- (9CI) (CA INDEX NAME)

RN 459447-78-0 CAPLUS

CN 1,2,4-Triazolo[4,3-a]pyridine, 3-cyclobutyl-6-[4-(3-methylphenyl)-5-

oxazolyl] - (9CI) (CA INDEX NAME)

RN 459447-79-1 CAPLUS

CN 1,2,4-Triazolo[4,3-a]pyridine, 3-(1-methylethyl)-6-[4-(3-methylphenyl)-5-oxazolyl]- (9CI) (CA INDEX NAME)

RN 459447-80-4 CAPLUS

CN 1,2,4-Triazolo[4,3-a]pyridine, 6-[4-(4-fluoro-3-methylphenyl)-5-oxazolyl]-3-(1-methylethyl)- (9CI) (CA INDEX NAME)

RN 459447-82-6 CAPLUS

CN 1,2,4-Triazolo[4,3-a]pyridine, 3-cyclopropyl-6-[4-(4-fluoro-3-methylphenyl)-5-oxazolyl]- (9CI) (CA INDEX NAME)

RN 459447-83-7 CAPLUS

CN 1,2,4-Triazolo[4,3-a]pyridine, 6-[4-(4-fluorophenyl)-5-oxazolyl]-3-phenyl-(9CI) (CA INDEX NAME)

RN 459447-84-8 CAPLUS

CN 1,2,4-Triazolo[4,3-a]pyridine, 3-(1-methylethyl)-6-(2-methyl-4-phenyl-5-oxazolyl)- (9CI) (CA INDEX NAME)

RN 459447-88-2 CAPLUS

CN 1,2,4-Triazolo[4,3-a]pyridine, 6-[4-(4-fluorophenyl)-2-methyl-5-oxazolyl]-3-(1-methylethyl)- (9CI) (CA INDEX NAME)

RN 459447-89-3 CAPLUS

CN 1,2,4-Triazolo[4,3-a]pyridine-3-acetic acid, 6-[4-(4-fluorophenyl)-5-oxazolyl]-, ethyl ester (9CI) (CA INDEX NAME)

RN 459447-90-6 CAPLUS

CN 1,2,4-Triazolo[4,3-a]pyridine, 3-(2-chlorophenyl)-6-[4-(3-methylphenyl)-5-oxazolyl]- (9CI) (CA INDEX NAME)

RN 459447-91-7 CAPLUS

CN 1,2,4-Triazolo[4,3-a]pyridine, 6-[4-(2-fluoro-5-methylphenyl)-5-oxazolyl]- (9CI) (CA INDEX NAME)

RN 459447-92-8 CAPLUS

CN 1,2,4-Triazolo[4,3-a]pyridine, 6-[4-(4-fluorophenyl)-5-oxazolyl]-3-(2-methylphenyl)- (9CI) (CA INDEX NAME)

RN 459447-93-9 CAPLUS

CN 1,2,4-Triazolo[4,3-a]pyridine, 3-(2-fluorophenyl)-6-[4-(3-methylphenyl)-5-oxazolyl]- (9CI) (CA INDEX NAME)

RN 459447-94-0 CAPLUS

CN 1,2,4-Triazolo[4,3-a]pyridin-3-amine, 6-[4-(4-fluorophenyl)-5-oxazolyl]-N,N-dimethyl- (9CI) (CA INDEX NAME)

RN 459447-95-1 CAPLUS

CN 1,2,4-Triazolo[4,3-a]pyridine, 6-[4-(4-fluoro-3-methylphenyl)-5-oxazolyl]-3-phenyl- (9CI) (CA INDEX NAME)

RN 459447-96-2 CAPLUS

CN 1,2,4-Triazolo[4,3-a]pyridine, 6-[4-(3-chloro-4-fluorophenyl)-5-oxazolyl]-3-(1-methylethyl)- (9CI) (CA INDEX NAME)

RN 459447-97-3 CAPLUS

CN 1,2,4-Triazolo[4,3-a]pyridine, 6-[4-(3-fluorophenyl)-5-oxazolyl]-3-(1-methylethyl)- (9CI) (CA INDEX NAME)

RN 459447-98-4 CAPLUS

CN 1,2,4-Triazolo[4,3-a]pyridine, 3-(2-chlorophenyl)-6-[4-(4-fluorophenyl)-5-oxazolyl]- (9CI) (CA INDEX NAME)

RN 459448-00-1 CAPLUS

CN 1,2,4-Triazolo[4,3-a]pyridine, 6-[4-(3,4-difluorophenyl)-5-oxazolyl]-3-(1-methylethyl)- (9CI) (CA INDEX NAME)

RN 459448-01-2 CAPLUS

CN 1,2,4-Triazolo[4,3-a]pyridine, 6-[4-(4-fluorophenyl)-2-methyl-5-oxazolyl]-3-phenyl- (9CI) (CA INDEX NAME)

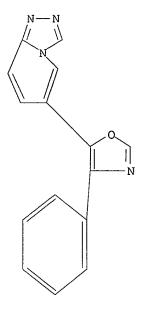
RN 459448-02-3 CAPLUS CN 1,2,4-Triazolo[4,3-a]pyridine, 6-[4-(3-fluorophenyl)-5-oxazolyl]-3-phenyl-(9CI) (CA INDEX NAME)

RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> => file uspatall
FILE 'USPATFULL' ENTERED AT 11:04:12 ON 18 MAY 2005
CA INDEXING COPYRIGHT (C) 2005 AMERICAN CHEMICAL SOCIETY (ACS)

FILE 'USPAT2' ENTERED AT 11:04:12 ON 18 MAY 2005 CA INDEXING COPYRIGHT (C) 2005 AMERICAN CHEMICAL SOCIETY (ACS)

=> d que L1 STR



Structure attributes must be viewed using STN Express query preparation.

L3 54 SEA FILE=REGISTRY SSS FUL L1

 L_5 9 SEA L3

=> d 15 1-9 ibib abs hitstr

ANSWER 1 OF 9 USPATFULL on STN

ACCESSION NUMBER:

2004:203985 USPATFULL

TITLE: Cycloalkyl-[4-(trifluorophenyl)-oxazol-5yl]-triazolo-

pyridines

INVENTOR(S): Dombroski, Mark A., Waterford, CT, UNITED STATES

Letavic, Michael A., Mystic, CT, UNITED STATES

McClure, Kim F., Mystic, CT, UNITED STATES

PATENT ASSIGNEE(S): Pfizer Inc (U.S. corporation)

NUMBER KIND DATE

US 2004157877 A1 20040812 US 2003-649216 A1 20030827 PATENT INFORMATION: APPLICATION INFO.:

A1 20030827 (10)

NUMBER DATE -----

PRIORITY INFORMATION: US 2002-407086P 20020830 (60)

DOCUMENT TYPE: Utility FILE SEGMENT: APPLICATION

LEGAL REPRESENTATIVE: PFIZER INC, 150 EAST 42ND STREET, 5TH FLOOR - STOP 49,

NEW YORK, NY, 10017-5612

NUMBER OF CLAIMS: 16 EXEMPLARY CLAIM: 1

LINE COUNT: 1915

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

The present invention relates to novel cycloalkyl-[4-(trifluorophenyl)-AB oxazol-5-yl]-triazolo-pyridines, to intermediates for their preparation, to pharmaceutical compositions containing them and to their medicinal use. The compounds of the present invention are potent inhibitors of MAP kinases, preferably p38 kinase. They are useful in the treatment of inflammation, osteoarthritis, rheumatoid arthritis, cancer, reperfusion

or ischemia in stroke or heart attack, autoimmune diseases and other disorders.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 668990-95-2P 668990-96-3P

(preparation of cycloalkyl-[4-(trifluorophenyl)-oxazol-5-yl]-triazolo-pyridines as potent inhibitors of MAP kinases, preferably p38 kinase)

RN 668990-95-2 USPATFULL

CN 1,2,4-Triazolo[4,3-a]pyridine, 3-cyclopropyl-6-[4-(2,4,5-trifluorophenyl)-5-oxazolyl]- (9CI) (CA INDEX NAME)

RN 668990-96-3 USPATFULL

CN 1,2,4-Triazolo[4,3-a]pyridine, 3-(1-methylcyclopropyl)-6-[4-(2,4,5-trifluorophenyl)-5-oxazolyl]- (9CI) (CA INDEX NAME)

5 ANSWER 2 OF 9 USPATFULL on STN

ACCESSION NUMBER:

2004:185233 USPATFULL

TITLE:

Novel crystalline forms of 3-isopropyl-6-[4-(2,5-difluoro-phenyl)-oxazol-5-yl]-[1,2,4]triazolo-[4,3-

A]pyridine

INVENTOR (S):

Kang, Ming, Salem, CT, UNITED STATES

Li, Zheng Jane, Quaker Hill, CT, UNITED STATES Li, Zhengong Bryan, East Lyme, CT, UNITED STATES

Tao, Yong, Salem, CT, UNITED STATES

PATENT ASSIGNEE(S):

Pfizer Inc (U.S. corporation)

	NUMBER	KIND	DATE	
PATENT INFORMATION:	US 2004143119	A1	20040722	
APPLICATION INFO.:	US 2003-649194	A1	20030827	(10)

NUMBER DATE

PRIORITY INFORMATION: US 2002-407158P 20020830 (60)

DOCUMENT TYPE: Utility
FILE SEGMENT: APPLICATION

LEGAL REPRESENTATIVE: PFIZER INC, 150 EAST 42ND STREET, 5TH FLOOR - STOP 49,

NEW YORK, NY, 10017-5612

NUMBER OF CLAIMS: 22 EXEMPLARY CLAIM: 1

NUMBER OF DRAWINGS: 16 Drawing Page(s)

LINE COUNT: 1576

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

The present invention relates to novel crystalline forms of 3-isopropyl-6-[4-(2,5-difluoro-phenyl)-oxazol-5-yl]-[1,2,4]triazolo-[4,3-a]pyridine to pharmaceutical compositions containing such crystal forms and to methods of treatment. 3-Isopropyl-6-[4-(2,5-difluoro-phenyl)-oxazol-5-yl]-[1,2,4]triazolo-[4,3-a]pyridine is a potent inhibitor of MAP kinases, preferably p38 kinase (MAPK14/CSBP/RK kinase). 3-Isopropyl-6-[4-(2,5-difluoro-phenyl)-oxazol-5-yl]-[1,2,4]triazolo-[4,3-a]pyridine is useful in the treatment of inflammation, osteoarthritis, rheumatoid arthritis, cancer, reperfusion or ischemia in stroke or heart attack, autoimmune diseases and other disorders.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 668981-02-0P

RN 668981-02-0 USPATFULL

CN 1,2,4-Triazolo[4,3-a]pyridine, 6-[4-(2,5-difluorophenyl)-5-oxazolyl]-3-(1-methylethyl)- (9CI) (CA INDEX NAME)

IT. 668981-04-2P 668981-05-3P 668981-07-5P

RN 668981-04-2 USPATFULL

CN 1,2,4-Triazolo[4,3-a]pyridine, 6-[4-(2,5-difluorophenyl)-5-oxazolyl]-3-(1-methylethyl)-, monohydrochloride (9CI) (CA INDEX NAME)

● HCl

RN 668981-05-3 USPATFULL

CN 1,2,4-Triazolo[4,3-a]pyridine, 6-[4-(2,5-difluorophenyl)-5-oxazolyl]-3-(1-methylethyl)-, monomethanesulfonate (9CI) (CA INDEX NAME)

CM 1

CRN 668981-02-0 CMF C18 H14 F2 N4 O

CM 2

CRN 75-75-2 CMF C H4 O3 S

RN 668981-07-5 USPATFULL

CN 1,2,4-Triazolo[4,3-a]pyridine, 6-[4-(2,5-difluorophenyl)-5-oxazolyl]-3-(1-methylethyl)-, sulfate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 668981-02-0 CMF C18 H14 F2 N4 O

CM 2

CRN 7664-93-9 CMF H2 O4 S

ANSWER 3 OF 9 USPATFULL on STN

ACCESSION NUMBER:

2004:121131 USPATFULL

TITLE:

Alkyl-[4-(difluorophenyl)-oxazol-5-yl]-triazolo-

pyridines

INVENTOR (S):

Dombroski, Mark A., Waterford, CT, UNITED STATES

Letavic, Michael A., Mystic, CT, UNITED STATES

McClure, Kim F., Mystic, CT, UNITED STATES

PATENT ASSIGNEE (S):

Pfizer Inc (U.S. corporation)

	NUMBER	KIND	DATE
NFORMATION:	US 2004092547	A1	20040513

PATENT IN APPLICATION INFO.:

US 2003-649227 A1 20030827 (10)

> NUMBER DATE

PRIORITY INFORMATION:

US 2002-407088P 20020830 (60)

DOCUMENT TYPE:

Utility

FILE SEGMENT: LEGAL REPRESENTATIVE: APPLICATION

PFIZER INC, 150 EAST 42ND STREET, 5TH FLOOR - STOP 49, NEW YORK, NY, 10017-5612

NUMBER OF CLAIMS: 15 EXEMPLARY CLAIM: 1

LINE COUNT: 2480

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The present invention relates to novel alkyl-[4-(difluorophenyl)-oxazol-5-yl]-triazolo-pyridines, to intermediates for their preparation, to pharmaceutical compositions containing them and to their medicinal use. The compounds of the present invention are potent inhibitors of MAP kinases, preferably p38 kinase. They are useful in the treatment of inflammation, osteoarthritis, rheumatoid arthritis, cancer, reperfusion or ischemia in stroke or heart attack, autoimmune diseases and other disorders.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 668981-02-0P, 6-[4-(2,5-Difluorophenyl)oxazol-5-yl]-3-isopropyl[1,2,4]triazolo[4,3-a]pyridine
(p38 kinase inhibitor; preparation of alkyldifluorophenyloxazolyltriazolopyr
idines as MAP kinases, in particular p38 kinase inhibitors)

RN 668981-02-0 USPATFULL

CN 1,2,4-Triazolo[4,3-a]pyridine, 6-[4-(2,5-difluorophenyl)-5-oxazolyl]-3-(1methylethyl)- (9CI) (CA INDEX NAME)

459448-00-1P, 6-[4-(3,4-Difluorophenyl)oxazol-5-yl]-3-isopropyl-[1,2,4]triazolo[4,3-a]pyridine 668981-03-1P, 6-[4-(2,6-Difluorophenyl)oxazol-5-yl]-3-isopropyl-[1,2,4]triazolo[4,3a)pyridine 668981-04-2P, 6-[4-(2,5-Difluorophenyl)oxazol-5-yl]-3-isopropyl-[1,2,4]triazolo[4,3-a]pyridine hydrochloride 668981-05-3P, 6-[4-(2,5-Difluorophenyl)oxazol-5-yl]-3-isopropyl-[1,2,4]triazolo[4,3-a]pyridine methanesulfonate 668981-06-4P, 6-[4-(2,5-Difluorophenyl)oxazol-5-yl]-3-isopropyl-[1,2,4]triazolo[4,3a]pyridine p-toluenesulfonate 668981-07-5P, 6-[4-(2,5-Difluorophenyl)oxazol-5-yl]-3-isopropyl-[1,2,4]triazolo[4,3a]pyridine sulfate 668990-77-0P, 3-tert-Butyl-6-[4-(2,5difluorophenyl)oxazol-5-yl]-[1,2,4]triazolo[4,3-a]pyridine 668990-78-1P, 3-tert-Butyl-6-[4-(2,4-difluorophenyl)oxazol-5-yl]-[1,2,4]triazolo[4,3-a]pyridine 668990-97-4P, 3-Isopropyl-6-[4-(2,4-difluorophenyl)oxazol-5-yl]-[1,2,4]triazolo[4,3a]pyridine (p38 kinase inhibitor; preparation of alkyldifluorophenyloxazolyltriazolopyr idines as MAP kinases, in particular p38 kinase inhibitors) 459448-00-1 USPATFULL RN CN 1,2,4-Triazolo[4,3-a]pyridine, 6-[4-(3,4-difluorophenyl)-5-oxazolyl]-3-(1methylethyl) - (9CI) (CA INDEX NAME)

RN 668981-03-1 USPATFULL
CN 1,2,4-Triazolo[4,3-a]pyridine, 6-[4-(2,6-difluorophenyl)-5-oxazolyl]-3-(1-methylethyl)- (9CI) (CA INDEX NAME)

RN 668981-04-2 USPATFULL

CN 1,2,4-Triazolo[4,3-a]pyridine, 6-[4-(2,5-difluorophenyl)-5-oxazolyl]-3-(1-methylethyl)-, monohydrochloride (9CI) (CA INDEX NAME)

● HCl

RN 668981-05-3 USPATFULL

CN 1,2,4-Triazolo[4,3-a]pyridine, 6-[4-(2,5-difluorophenyl)-5-oxazolyl]-3-(1-methylethyl)-, monomethanesulfonate (9CI) (CA INDEX NAME)

CM 1

CRN 668981-02-0 CMF C18 H14 F2 N4 O

CM 2

CRN 75-75-2 CMF C H4 O3 S

RN 668981-06-4 USPATFULL

CN 1,2,4-Triazolo[4,3-a]pyridine, 6-[4-(2,5-difluorophenyl)-5-oxazolyl]-3-(1-methylethyl)-, mono(4-methylbenzenesulfonate) (9CI) (CA INDEX NAME)

CM 1

CRN 668981-02-0 CMF C18 H14 F2 N4 O

CM 2

CRN 104-15-4 CMF C7 H8 O3 S

RN 668981-07-5 USPATFULL

CN 1,2,4-Triazolo[4,3-a]pyridine, 6-[4-(2,5-difluorophenyl)-5-oxazolyl]-3-(1-methylethyl)-, sulfate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 668981-02-0 CMF C18 H14 F2 N4 O

CM 2

CRN 7664-93-9 CMF H2 O4 S

RN 668990-77-0 USPATFULL

CN 1,2,4-Triazolo[4,3-a]pyridine, 6-[4-(2,5-difluorophenyl)-5-oxazolyl]-3-(1,1-dimethylethyl)- (9CI) (CA INDEX NAME)

RN 668990-78-1 USPATFULL

CN 1,2,4-Triazolo[4,3-a]pyridine, 6-[4-(2,4-difluorophenyl)-5-oxazolyl]-3-(1,1-dimethylethyl)- (9CI) (CA INDEX NAME)

RN 668990-97-4 USPATFULL

CN 1,2,4-Triazolo[4,3-a]pyridine, 6-[4-(2,4-difluorophenyl)-5-oxazolyl]-3-(1-methylethyl)- (9CI) (CA INDEX NAME)

J5 ANSWER 4 OF 9 USPATFULL on STN

ACCESSION NUMBER: 2004:114769 USPATFULL

TITLE: Cycloalkyl-[4-(difluorophenyl)-oxazol-5-yl]-triazolo-

pyridines

INVENTOR(S): Dombroski, Mark A., Waterford, CT, UNITED STATES

Letavic, Michael A., Mystic, CT, UNITED STATES

McClure, Kim F., Mystic, CT, UNITED STATES

PATENT ASSIGNEE(S): Pfizer Inc (U.S. corporation)

NUMBER KIND DATE

PATENT INFORMATION: US 2004087615 A1 20040506

APPLICATION INFO.: US 2003-649255 A1 20030827 (10)

NUMBER DATE

PRIORITY INFORMATION: US 2002-407489P 20020830 (60)
DOCUMENT TYPE: Utility

DOCUMENT TYPE: Utility
FILE SEGMENT: APPLICATION

LEGAL REPRESENTATIVE: PFIZER INC, 150 EAST 42ND STREET, 5TH FLOOR - STOP 49,

NEW YORK, NY, 10017-5612

NUMBER OF CLAIMS: 17
EXEMPLARY CLAIM: 1
LINE COUNT: 1952

RN

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

The present invention relates to novel cycloalkyl-[4-(difluorophenyl)-oxazol-5-yl]triazolo-pyridines, to intermediates for their preparation, to pharmaceutical compositions containing them and to their medicinal use. The compounds of the present invention are potent inhibitors of MAP kinases, preferably p38 kinase. They are useful in the treatment of inflammation, osteoarthritis, rheumatoid arthritis, cancer, reperfusion or ischemia in stroke or heart attack, autoimmune diseases and other disorders.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 668990-79-2P, 3-Cyclopropyl-6-[4-(2,4-difluorophenyl)oxazol-5-

yl][1,2,4]triazolo[4,3-a]pyridine

(preparation of cycloalkyl-[4-(difluorophenyl)-oxazol-5-yl]-triazolopyridines as potent inhibitors of MAP kinases, preferably p38 kinase) 668990-79-2 USPATFULL

CN 1,2,4-Triazolo[4,3-a]pyridine, 3-cyclopropyl-6-[4-(2,4-difluorophenyl)-5-oxazolyl]- (9CI) (CA INDEX NAME)

IT 668990-83-8P, 3-Cyclopropyl-6-[4-(2,5-difluorophenyl)oxazol-5-yl][1,2,4]triazolo[4,3-a]pyridine 668990-84-9P,
6-[4-(2,5-Difluorophenyl)oxazol-5-yl]-3-(1-methylcyclopropyl)[1,2,4]triazolo[4,3-a]pyridine 668990-85-0P, 6-[4-(2,4-Difluorophenyl)oxazol-5-yl]-3-(1-methylcyclopropyl)[1,2,4]triazolo[4,3-a]pyridine 668990-86-1P, 3-Cyclobutyl-6-[4-(2,5-difluorophenyl)oxazol-5-yl][1,2,4]triazolo[4,3-a]pyridine
(preparation of cycloalkyl-[4-(difluorophenyl)-oxazol-5-yl]-triazolo-pyridines as potent inhibitors of MAP kinases, preferably p38 kinase)
RN 668990-83-8 USPATFULL
CN 1,2,4-Triazolo[4,3-a]pyridine, 3-cyclopropyl-6-[4-(2,5-difluorophenyl)-5-oxazolyl]- (9CI) (CA INDEX NAME)

RN 668990-84-9 USPATFULL

CN 1,2,4-Triazolo[4,3-a]pyridine, 6-[4-(2,5-difluorophenyl)-5-oxazolyl]-3-(1methylcyclopropyl)- (9CI) (CA INDEX NAME)

RN 668990-85-0 USPATFULL

CN 1,2,4-Triazolo[4,3-a]pyridine, 6-[4-(2,4-difluorophenyl)-5-oxazolyl]-3-(1methylcyclopropyl)- (9CI) (CA INDEX NAME)

RN 668990-86-1 USPATFULL

CN 1,2,4-Triazolo[4,3-a]pyridine, 3-cyclobutyl-6-[4-(2,5-difluorophenyl)-5oxazolyl] - (9CI) (CA INDEX NAME)

ANSWER 5 OF 9 USPATFULL on STN

ACCESSION NUMBER: 2004:101812 USPATFULL

TITLE: Alkyl-[4-(trifluorophenyl)-oxazol-5-yl]-triazolo-

pyridines

INVENTOR(S): Dombroski, Mark A., Waterford, CT, UNITED STATES

Letavic, Michael A., Mystic, CT, UNITED STATES McClure, Kim F., Mystic, CT, UNITED STATES

PATENT ASSIGNEE(S): Pfizer Inc (U.S. corporation)

NUMBER KIND DATE

PATENT INFORMATION: US 2004077682 A1 20040422

APPLICATION INFO.: US 2003-649265 A1 20030827 (10)

NUMBER DATE -----

PRIORITY INFORMATION: US 2002-407089P 20020830 (60)

DOCUMENT TYPE: Utility FILE SEGMENT: APPLICATION

LEGAL REPRESENTATIVE: PFIZER INC, 150 EAST 42ND STREET, 5TH FLOOR - STOP 49,

NEW YORK, NY, 10017-5612

NUMBER OF CLAIMS: 16 EXEMPLARY CLAIM: 1 LINE COUNT: 1942

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The present invention relates to novel alkyl-[4-(trifluorophenyl)-oxazol-5-yl]-triazolo-pyridines, to intermediates and methods for their preparation, to pharmaceutical compositions containing them and to their medicinal use. The compounds of the present invention are potent

inhibitors of MAP kinases, preferably p38 kinase. They are useful in the treatment of inflammation, osteoarthritis, rheumatoid arthritis, cancer, reperfusion or ischemia in stroke or heart attack, autoimmune diseases and other disorders.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 668990-87-2P 668990-90-7P 668990-91-8P 668990-92-9P 668990-93-0P 668990-94-1P

668990-92-9P 668990-93-0P 668990-94-1P

(preparation of 3-alkyl-6-[4-(trifluorophenyl)-oxazol-5-yl][1,2,4]triazolo[4,3-a]pyridines as potent inhibitors of MAP kinases)

RN 668990-87-2 USPATFULL

CN 1,2,4-Triazolo[4,3-a]pyridine, 3-(1-methylethyl)-6-[4-(2,4,5-trifluorophenyl)-5-oxazolyl]- (9CI) (CA INDEX NAME)

RN 668990-90-7 USPATFULL

CN 1,2,4-Triazolo[4,3-a]pyridine, 3-(1-methylethyl)-6-[4-(2,3,4-trifluorophenyl)-5-oxazolyl]- (9CI) (CA INDEX NAME)

RN 668990-91-8 USPATFULL

CN 1,2,4-Triazolo[4,3-a]pyridine, 3-(1-methylethyl)-6-[4-(2,3,5-trifluorophenyl)-5-oxazolyl]- (9CI) (CA INDEX NAME)

RN 668990-92-9 USPATFULL

CN 1,2,4-Triazolo[4,3-a]pyridine, 3-(1-methylethyl)-6-[4-(2,4,6-trifluorophenyl)-5-oxazolyl]- (9CI) (CA INDEX NAME)

RN 668990-93-0 USPATFULL

CN 1,2,4-Triazolo[4,3-a]pyridine, 3-(1-methylethyl)-6-[4-(3,4,5-trifluorophenyl)-5-oxazolyl]- (9CI) (CA INDEX NAME)

RN 668990-94-1 **USPATFULL**

CN 1,2,4-Triazolo[4,3-a]pyridine, 3-(1,1-dimethylethyl)-6-[4-(2,4,5-trifluorophenyl)-5-oxazolyl]- (9CI) (CA INDEX NAME)

L5 ANSWER 6 OF 9 USPATFULL on STN

ACCESSION NUMBER: 2004:70731 USPATFULL

TITLE: Novel processes and intermediates for preparing

triazolo-pyridines

INVENTOR(S): Buzon, Richard A., SR., Stonington, CT, UNITED STATES

Castaldi, Michael J., Pawcatuck, CT, UNITED STATES

Li, Zhengong B., East Lyme, CT, UNITED STATES

Ripin, David H. B., Old Saybrook, CT, UNITED STATES

Tao, Yong, Salem, CT, UNITED STATES

PATENT ASSIGNEE(S): Pfizer Inc. (U.S. corporation)

PATENT INFORMATION: US 2004053959 A1 20040318 APPLICATION INFO.: US 2003-649247 A1 20030827 (10)

DOCUMENT TYPE: Utility
FILE SEGMENT: APPLICATION

LEGAL REPRESENTATIVE: PFIZER INC, 150 EAST 42ND STREET, 5TH FLOOR - STOP 49,

NEW YORK, NY, 10017-5612

NUMBER OF CLAIMS: 48
EXEMPLARY CLAIM: 1
LINE COUNT: 3578

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The present invention relates and intermediates to a novel process for preparing triazolo-pyridines of the formula I ##STR1##

wherein R.sup.1 is selected from the group consisting of hydrogen, (C.sub.1-C.sub.6)alkyl or other suitable substituents;

R.sup.3 is selected from the group consisting of hydrogen, (C.sub.1-C.sub.6)alkyl or other suitable substituents;

s is an integer from 0-5;

R.sup.4 is hydrogen or a suitable substituent and to intermediates for their preparation. The compounds prepared by the methods of the present invention are potent inhibitors of MAP kinases, preferably p38 kinase. They are useful in the treatment of inflammation, osteoarthritis, rheumatoid arthritis, cancer, reperfusion or ischemia in stroke or heart attack, autoimmune diseases and other disorders.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 668981-02-0P, 6-[4-(2,5-Difluorophenyl)oxazol-5-yl]-3-isopropyl-

[1,2,4]triazolo[4,3-a]pyridine

(preparation of triazolopyridines as p38 kinase inhibitors by Suzuki coupling of phenylboronic acid with (bromooxazolyl)triazolopyridine derivative or cyclocondensation of α -tosylbenzyl isonitrile with triazolopyridinecarboxaldehyde)

RN 668981-02-0 USPATFULL

CN 1,2,4-Triazolo[4,3-a]pyridine, 6-[4-(2,5-difluorophenyl)-5-oxazolyl]-3-(1-methylethyl)- (9CI) (CA INDEX NAME)

668981-03-1P, 6-[4-(2,6-Difluorophenyl)oxazol-5-yl]-3-isopropyl-[1,2,4]triazolo[4,3-a]pyridine 668981-04-2P, 6-[4-(2,5-Difluorophenyl)oxazol-5-yl]-3-isopropyl-[1,2,4]triazolo[4,3a]pyridine hydrochloride 668981-05-3P, 6-[4-(2,5-Difluorophenyl)oxazol-5-yl]-3-isopropyl-[1,2,4]triazolo[4,3-a]pyridine methanesulfonate 668981-06-4P, 6-[4-(2,5-Difluorophenyl)oxazol-5-yl]-3-isopropyl-[1,2,4]triazolo[4,3-a]pyridine p-toluenesulfonate 668981-07-5P, 6-[4-(2,5-Difluorophenyl)oxazol-5-yl]-3-isopropyl-[1,2,4]triazol[4,3-a]pyridine sulfate (preparation of triazolopyridines as p38 kinase inhibitors by Suzuki coupling of phenylboronic acid with (bromooxazolyl)triazolopyridine derivative or cyclocondensation of α -tosylbenzyl isonitrile with triazolopyridinecarboxaldehyde) 668981-03-1 USPATFULL RN CN 1,2,4-Triazolo[4,3-a]pyridine, 6-[4-(2,6-difluorophenyl)-5-oxazolyl]-3-(1methylethyl) - (9CI) (CA INDEX NAME)

RN 668981-04-2 USPATFULL

CN 1,2,4-Triazolo[4,3-a]pyridine, 6-[4-(2,5-difluorophenyl)-5-oxazolyl]-3-(1-methylethyl)-, monohydrochloride (9CI) (CA INDEX NAME)

● HCl

RN 668981-05-3 USPATFULL

CN 1,2,4-Triazolo[4,3-a]pyridine, 6-[4-(2,5-difluorophenyl)-5-oxazolyl]-3-(1-methylethyl)-, monomethanesulfonate (9CI) (CA INDEX NAME)

CM 1

CRN 668981-02-0 CMF C18 H14 F2 N4 O

CM 2

CRN 75-75-2 CMF C H4 O3 S

RN 668981-06-4 USPATFULL

CN 1,2,4-Triazolo[4,3-a]pyridine, 6-[4-(2,5-difluorophenyl)-5-oxazolyl]-3-(1-methylethyl)-, mono(4-methylbenzenesulfonate) (9CI) (CA INDEX NAME)

CM 1

CRN 668981-02-0 CMF C18 H14 F2 N4 O

CRN 104-15-4 CMF C7 H8 O3 S

RN 668981-07-5 USPATFULL

CN 1,2,4-Triazolo[4,3-a]pyridine, 6-[4-(2,5-difluorophenyl)-5-oxazolyl]-3-(1-methylethyl)-, sulfate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 668981-02-0 CMF C18 H14 F2 N4 O

CM 2

CRN 7664-93-9 CMF H2 O4 S

ANSWER 7 OF 9 USPATFULL on STN

ACCESSION NUMBER: 2004:70730 USPATFULL

TITLE: Di and trifluoro-triazolo-pyridines anti-inflammatory

compounds

INVENTOR(S): Dombroski, Mark A., Waterford, CT, UNITED STATES

Letavic, Michael A., Mystic, CT, UNITED STATES

McClure, Kim F., Mystic, CT, UNITED STATES

PATENT ASSIGNEE(S): Pfizer Inc. (U.S. corporation)

 NUMBER DATE

PRIORITY INFORMATION: US 2002-407177P 20020830 (60)

DOCUMENT TYPE: Utility FILE SEGMENT: APPLICATION

LEGAL REPRESENTATIVE: PFIZER INC, 150 EAST 42ND STREET, 5TH FLOOR - STOP 49,

NEW YORK, NY, 10017-5612

NUMBER OF CLAIMS: 33
EXEMPLARY CLAIM: 1
LINE COUNT: 3038

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The present invention relates to novel triazolo-pyridines of the formula I ##STR1##

wherein R.sup.1 is fluoro;

s is an integer from two to three;

R.sup.2 is (C.sub.3-C.sub.6) cycloalkyl optionally substituted by one or two moieties independently selected from the group consisting of halo, (C.sub.1-C.sub.4) alkyl, hydroxy, (C.sub.1-C.sub.6) alkoxy and (C.sub.1-C.sub.6) alkyl-(C.dbd.0)--O--;

or R.sup.2 is (C.sub.1-C.sub.6) alkyl optionally substituted by one or two moieties independently selected from the group consisting of halo, (C.sub.1-C.sub.6) alkyl, hydroxy, (C.sub.1-C.sub.6) alkoxy and (C.sub.1-C.sub.6) alkyl-(C.dbd.0)--O--;

with the proviso that said compound of formula I cannot be

6-[4-(2,4-Difluoro-phenyl)-oxazol-5-yl]-3-isopropyl-[1,2,4]triazolo[4,3-a]pyridine; or

6-[4-(3,4-Difluoro-phenyl)-oxazol-5-yl]-3-isopropyl-[1,2,4]triazolo[4,3-a]pyridine;

to intermediates for their preparation, to pharmaceutical compositions containing them and to their medicinal use. The compounds of the present invention are potent inhibitors of MAP kinases, preferably p38 kinase. They are useful in the treatment of inflammation, osteoarthritis, rheumatoid arthritis, cancer, repurfusion or ischemia in stroke or heart attack, autoimmune diseases and other disorders.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 668981-02-0P

(X-ray crystalog. data and polymorphism; preparation of [(di- and trifluorophenyl)oxazolyl]triazolopyridine as p38 kinase inhibitors and therapeutic agents)

RN 668981-02-0 USPATFULL

CN 1,2,4-Triazolo[4,3-a]pyridine, 6-[4-(2,5-difluorophenyl)-5-oxazolyl]-3-(1-methylethyl)- (9CI) (CA INDEX NAME)

IT 668990-79-2P, 3-Cyclopropyl-6-[4-(2,4-difluorophenyl)oxazol-5-yl] [1,2,4]triazolo[4,3-a]pyridine
 (intermediate; preparation of [(di- and trifluorophenyl)oxazolyl]triazolopyr
 idine as p38 kinase inhibitors and therapeutic agents)
RN 668990-79-2 USPATFULL
CN 1,2,4-Triazolo[4,3-a]pyridine, 3-cyclopropyl-6-[4-(2,4-difluorophenyl)-5 oxazolyl]- (9CI) (CA INDEX NAME)

668981-03-1P, 6-[4-(2,6-Difluorophenyl)oxazol-5-yl]-3-isopropyl-[1,2,4]triazolo[4,3-a]pyridine 668981-04-2P, 6-[4-(2,5-Difluorophenyl)oxazol-5-yl]-3-isopropyl-[1,2,4]triazolo[4,3a]pyridine hydrochloride 668981-05-3P, 6-[4-(2,5-Difluorophenyl)oxazol-5-yl]-3-isopropyl-[1,2,4]triazolo[4,3-a]pyridine methanesulfonate 668981-06-4P, 6-[4-(2,5-Difluorophenyl)oxazol-5-yl]-3-isopropyl-[1,2,4]triazolo[4,3-a]pyridine p-toluenesulfonate 668981-07-5P, 6-[4-(2,5-Difluorophenyl)oxazol-5-yl]-3-isopropyl-[1,2,4]triazolo[4,3-a]pyridine sulfate 668990-77-0P, 3-tert-Butyl-6-[4-(2,5-difluorophenyl)oxazol-5-yl]-[1,2,4]triazolo[4,3a)pyridine 668990-78-1P, 3-tert-Butyl-6-[4-(2,4difluorophenyl)oxazol-5-yl][1,2,4]triazolo[4,3-a]pyridine 668990-83-8P, 3-Cyclopropyl-6-[4-(2,5-difluorophenyl)oxazol-5yl] [1,2,4] triazolo [4,3-a] pyridine 668990-84-9P, 6-[4-(2,5-Difluorophenyl)oxazol-5-yl]-3-(1-methylcyclopropyl)-[1,2,4]triazolo[4,3-a]pyridine 668990-85-0P, 6-[4-(2,4-Difluorophenyl)oxazol-5-yl]-3-(1-methylcyclopropyl)-[1,2,4]triazolo[4,3-a]pyridine 668990-86-1P, 3-Cyclobutyl-6-[4-(2,5-difluorophenyl)oxazol-5-yl]-[1,2,4]triazolo[4,3a)pyridine 668990-87-2P, 3-Isopropyl-6-[4-(2,4,5trifluorophenyl)oxazol-5-yl]-[1,2,4]triazolo[4,3-a]pyridine 668990-90-7P, 3-Isopropyl-6-[4-(2,3,4-trifluorophenyl)oxazol-5yl] [1,2,4] triazolo[4,3-a] pyridine 668990-91-8P, 3-Isopropyl-6-[4-(2,3,5-trifluorophenyl)oxazol-5-yl]-[1,2,4]triazolo[4,3a)pyridine 668990-92-9P, 3-Isopropyl-6-[4-(2,4,6trifluorophenyl)oxazol-5-yl]-[1,2,4]triazolo[4,3-a]pyridine

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668990-93-0P, 3-Isopropyl-6-[4-(3,4,5-trifluorophenyl)oxazol-5-
      yl] - [1,2,4] triazolo [4,3-a] pyridine 668990-94-1P,
      3-tert-Butyl-6-[4-(2,4,5-trifluorophenyl)oxazol-5-yl]-[1,2,4]triazolo[4,3-
      a]pyridine 668990-95-2P, 3-Cyclopropyl-6-[4-(2,4,5-
      trifluorophenyl)oxazol-5-yl]-[1,2,4]triazolo[4,3-a]pyridine
      668990-96-3P, 3-(1-Methylcyclopropyl)-6-[4-(2,4,5-
      trifluorophenyl)oxazol-5-yl]-[1,2,4]triazolo[4,3-a]pyridine
      668990-97-4P, 3-Isopropyl-6-[4-(2,4-difluorophenyl)oxazol-5-
      yl] [1,2,4] triazolo[4,3-a] pyridine
        (preparation of [(di- and trifluorophenyl)oxazolyl]triazolopyridine as p38
        kinase inhibitors and therapeutic agents)
     668981-03-1 USPATFULL
RN
     1,2,4-Triazolo[4,3-a]pyridine, 6-[4-(2,6-difluorophenyl)-5-oxazolyl]-3-(1-
CN
       methylethyl) - (9CI) (CA INDEX NAME)
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RN 668981-04-2 USPATFULL
CN 1,2,4-Triazolo[4,3-a]pyridine, 6-[4-(2,5-difluorophenyl)-5-oxazolyl]-3-(1-methylethyl)-, monohydrochloride (9CI) (CA INDEX NAME)

● HCl

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RN 668981-05-3 USPATFULL
CN 1,2,4-Triazolo[4,3-a]pyridine, 6-[4-(2,5-difluorophenyl)-5-oxazolyl]-3-(1-methylethyl)-, monomethanesulfonate (9CI) (CA INDEX NAME)

CM 1

CRN 668981-02-0
CMF C18 H14 F2 N4 O
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CM 2

CRN 75-75-2 CMF C H4 O3 S

RN 668981-06-4 USPATFULL

CN 1,2,4-Triazolo[4,3-a]pyridine, 6-[4-(2,5-difluorophenyl)-5-oxazolyl]-3-(1-methylethyl)-, mono(4-methylbenzenesulfonate) (9CI) (CA INDEX NAME)

CM 1

CRN 668981-02-0 CMF C18 H14 F2 N4 O

CM 2

CRN 104-15-4 CMF C7 H8 O3 S

RN 668981-07-5 USPATFULL

CN 1,2,4-Triazolo[4,3-a]pyridine, 6-[4-(2,5-difluorophenyl)-5-oxazolyl]-3-(1-methylethyl)-, sulfate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 668981-02-0 CMF C18 H14 F2 N4 O

CM 2

CRN 7664-93-9 CMF H2 O4 S

RN 668990-77-0 USPATFULL

CN 1,2,4-Triazolo[4,3-a]pyridine, 6-[4-(2,5-difluorophenyl)-5-oxazolyl]-3-(1,1-dimethylethyl)- (9CI) (CA INDEX NAME)

RN 668990-78-1 USPATFULL

CN 1,2,4-Triazolo[4,3-a]pyridine, 6-[4-(2,4-difluorophenyl)-5-oxazolyl]-3-(1,1-dimethylethyl)- (9CI) (CA INDEX NAME)

RN 668990-83-8 USPATFULL

CN 1,2,4-Triazolo[4,3-a]pyridine, 3-cyclopropyl-6-[4-(2,5-difluorophenyl)-5-oxazolyl]- (9CI) (CA INDEX NAME)

RN 668990-84-9 USPATFULL

CN 1,2,4-Triazolo[4,3-a]pyridine, 6-[4-(2,5-difluorophenyl)-5-oxazolyl]-3-(1-methylcyclopropyl)- (9CI) (CA INDEX NAME)

RN 668990-85-0 USPATFULL

CN 1,2,4-Triazolo[4,3-a]pyridine, 6-[4-(2,4-difluorophenyl)-5-oxazolyl]-3-(1-methylcyclopropyl)- (9CI) (CA INDEX NAME)

RN 668990-86-1 USPATFULL

CN 1,2,4-Triazolo[4,3-a]pyridine, 3-cyclobutyl-6-[4-(2,5-difluorophenyl)-5-oxazolyl]- (9CI) (CA INDEX NAME)

RN 668990-87-2 USPATFULL

CN 1,2,4-Triazolo[4,3-a]pyridine, 3-(1-methylethyl)-6-[4-(2,4,5-trifluorophenyl)-5-oxazolyl]- (9CI) (CA INDEX NAME)

RN 668990-90-7 USPATFULL

CN 1,2,4-Triazolo[4,3-a]pyridine, 3-(1-methylethyl)-6-[4-(2,3,4-trifluorophenyl)-5-oxazolyl]- (9CI) (CA INDEX NAME)

RN 668990-91-8 USPATFULL

CN 1,2,4-Triazolo[4,3-a]pyridine, 3-(1-methylethyl)-6-[4-(2,3,5-trifluorophenyl)-5-oxazolyl]- (9CI) (CA INDEX NAME)

RN 668990-92-9 USPATFULL

CN 1,2,4-Triazolo[4,3-a]pyridine, 3-(1-methylethyl)-6-[4-(2,4,6-trifluorophenyl)-5-oxazolyl]- (9CI) (CA INDEX NAME)

RN 668990-93-0 USPATFULL

CN 1,2,4-Triazolo[4,3-a]pyridine, 3-(1-methylethyl)-6-[4-(3,4,5-trifluorophenyl)-5-oxazolyl]- (9CI) (CA INDEX NAME)

RN 668990-94-1 USPATFULL

CN 1,2,4-Triazolo[4,3-a]pyridine, 3-(1,1-dimethylethyl)-6-[4-(2,4,5-trifluorophenyl)-5-oxazolyl]- (9CI) (CA INDEX NAME)

RN 668990-95-2 USPATFULL

CN 1,2,4-Triazolo[4,3-a]pyridine, 3-cyclopropyl-6-[4-(2,4,5-trifluorophenyl)-5-oxazolyl]- (9CI) (CA INDEX NAME)

RN 668990-96-3 USPATFULL

CN 1,2,4-Triazolo[4,3-a]pyridine, 3-(1-methylcyclopropyl)-6-[4-(2,4,5-trifluorophenyl)-5-oxazolyl]- (9CI) (CA INDEX NAME)

RN 668990-97-4 USPATFULL

CN 1,2,4-Triazolo[4,3-a]pyridine, 6-[4-(2,4-difluorophenyl)-5-oxazolyl]-3-(1-methylethyl)- (9CI) (CA INDEX NAME)

L5 ANSWER 8 OF 9 USPATFULL on STN

ACCESSION NUMBER: 2003:140996 USPATFULL

TITLE: Novel triazolo-pyridines anti-inflammatory compounds

INVENTOR(S): McClure, Kim F., Mystic, CT, UNITED STATES
Letavic, Michael A., Mystic, CT, UNITED STATES

Dombroski, Mark A., Waterford, CT, UNITED STATES
Duplantier, Allen J., Ledyard, CT, UNITED STATES
Laird, Ellen R., Longmont, CO, UNITED STATES

PATENT ASSIGNEE(S): Pfizer Inc. (U.S. corporation)

NUMBER DATE

PRIORITY INFORMATION: US 2001-274840P 20010309 (60)

DOCUMENT TYPE: Utility
FILE SEGMENT: APPLICATION

LEGAL REPRESENTATIVE: PFIZER INC, 150 EAST 42ND STREET, 5TH FLOOR - STOP 49,

NEW YORK, NY, 10017-5612

NUMBER OF CLAIMS: 57 EXEMPLARY CLAIM: 1 LINE COUNT: 5372

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The present invention relates to novel triazolo-pyridines of the formula

I ##STR1##

wherein Het is an optionally substituted 5-membered heterocycle containing one to two heteroatoms selected from nitrogen, sulfur and oxygen wherein at least one of said heteroatoms atoms must be nitrogen;

R.sup.2 is selected from the group consisting of hydrogen,
(C.sub.1-C.sub.6)alkyl or other suitable substituents;

R.sup.3 is selected from the group consisting of hydrogen, (C.sub.1-C.sub.6)alkyl or other suitable substituents;

s is an integer from 0-5;

to intermediates for their preparation, to pharmaceutical compositions containing them and to their medicinal use. The compounds of the present invention are potent inhibitors of MAP kinases, preferably p38 kinase. They are useful in the treatment of inflammation, osteoarthritis, rheumatoid arthritis, cancer, repurfusion or ischemia in stroke or heart attack, autoimmune diseases and other disorders.

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CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT 459447-61-1P, 3-Isopropyl-6-(4-phenyloxazol-5-yl)-
      [1,2,4]triazolo[4,3-a]pyridine 459447-64-4P,
      3-Ethyl-6-(4-m-tolyloxazol-5-yl)-[1,2,4]triazolo[4,3-a]pyridine
      459447-66-6P, 3-Cyclopropyl-6-[4-(4-fluorophenyl)oxazol-5-yl]-
      [1,2,4]triazolo[4,3-a]pyridine 459447-67-7P,
      3-Cyclobutyl-6-[4-(4-fluorophenyl)oxazol-5-yl]-[1,2,4]triazolo[4,3-
      alpyridine 459447-69-9P, 3-Difluoromethyl-6-(4-phenyloxazol-5-
      yl)-[1,2,4]triazolo[4,3-a]pyridine 459447-71-3P,
      3-(Isoxazol-5-yl)-6-(4-phenyloxazol-5-yl)-[1,2,4]triazolo[4,3-a]pyridine
      459447-72-4P, 6-(4-Phenyloxazol-5-yl)-3-(2,2,2-trifluoroethyl)-
      [1,2,4]triazolo[4,3-a]pyridine 459447-73-5P,
      3-Cyclobutyl-6-(4-phenyloxazol-5-yl)-[1,2,4]triazolo[4,3-a]pyridine
      459447-74-6P, 3-Cyclopropyl-6-(4-phenyloxazol-5-yl)-
      [1,2,4]triazolo[4,3-a]pyridine 459447-75-7P,
      3-Ethyl-6-(4-phenyloxazol-5-yl)-[1,2,4]triazolo[4,3-a]pyridine
      459447-76-8P, 3-Ethyl-6-[4-(4-fluorophenyl)oxazol-5-yl]-
      [1,2,4]triazolo[4,3-a]pyridine 459447-77-9P,
      6-[4-(4-Fluorophenyl)oxazol-5-yl]-3-isopropyl-[1,2,4]triazolo[4,3-
      a]pyridine 459447-78-0P, 3-Cyclobutyl-6-(4-m-tolyloxazol-5-yl)-
      [1,2,4]triazolo[4,3-a]pyridine 459447-79-1P,
      3-Isopropyl-6-(4-m-tolyloxazol-5-yl)-[1,2,4]triazolo[4,3-a]pyridine
      459447-80-4P, 6-[4-(4-Fluoro-3-methylphenyl)oxazol-5-yl]-3-
      isopropyl-[1,2,4]triazolo[4,3-a]pyridine 459447-82-6P,
      3-Cyclopropyl-6-[4-(4-fluoro-3-methylphenyl)oxazol-5-yl]-
      [1,2,4]triazolo[4,3-a]pyridine 459447-83-7P,
      6-[4-(4-Fluorophenyl)oxazol-5-yl]-3-phenyl-[1,2,4]triazolo[4,3-a]pyridine
      459447-84-8P, 3-Isopropyl-6-(2-methyl-4-phenyloxazol-5-yl)-
      [1,2,4]triazolo[4,3-a]pyridine 459447-88-2P,
      6-[4-(4-Fluorophenyl)-2-methyloxazol-5-yl]-3-isopropyl-
      [1,2,4] triazolo [4,3-a] -pyridine 459447-89-3P,
      [6-[4-(4-Fluorophenyl)oxazol-5-yl]-[1,2,4]triazol[4,3-a]pyridin-3-
     yl]acetic acid ethyl ester 459447-90-6P, 3-(2-Chlorophenyl)-6-
      [4-(m-toly1) oxazol-5-yl]-[1,2,4] triazol [4,3-a] pyridine
      459447-91-7P, 6-[4-(2-Fluoro-5-methylphenyl)oxazol-5-yl]-
      [1,2,4]triazol[4,3-a]pyridine 459447-92-8P 459447-93-9P
      , 3-(2-Fluorophenyl)-6-[4-(m-tolyl)oxazol-5-yl]-[1,2,4]triazol[4,3-
     a]pyridine 459447-94-0P, [6-[4-(4-Fluorophenyl)oxazol-5-yl]-
      [1,2,4] triazol [4,3-a] pyridin-3-yl] dimethylamine 459447-95-1P,
     6-[4-(4-Fluoro-3-methylphenyl)oxazol-5-yl]-3-phenyl-[1,2,4]triazol[4,3-
     a]pyridine 459447-96-2P, 6-[4-(3-Chloro-4-fluorophenyl)oxazol-5-
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yl]-3-isopropyl-[1,2,4]triazol[4,3-a]pyridine 459447-97-3P, 6-[4-(3-Fluorophenyl)oxazol-5-yl]-3-isopropyl-[1,2,4]triazol[4,3a]pyridine 459447-98-4P, 3-(2-Chlorophenyl)-6-[4-(4fluorophenyl)oxazol-5-yl]-[1,2,4]triazol[4,3-a]pyridine 459448-00-1P, 6-[4-(3,4-Difluorophenyl)oxazol-5-yl]-3-isopropyl-[1,2,4]triazol[4,3-a]pyridine 459448-01-2P, 6-[4-(4-Fluorophenyl)-2-methyloxazol-5-yl]-3-phenyl-[1,2,4]triazol[4,3a)pyridine 459448-02-3P, 6-[4-(3-Fluorophenyl)oxazol-5-yl]-3phenyl-[1,2,4]triazol[4,3-a]pyridine (anti-inflammatory agent; preparation of (phenylheterocyclyl)triazolopyridin es as anti-inflammatory agents) 459447-61-1 USPATFULL RN CN 1,2,4-Triazolo[4,3-a]pyridine, 3-(1-methylethyl)-6-(4-phenyl-5-oxazolyl)-(9CI) (CA INDEX NAME)

RN 459447-64-4 USPATFULL CN 1,2,4-Triazolo[4,3-a]pyridine, 3-ethyl-6-[4-(3-methylphenyl)-5-oxazolyl]-(9CI) (CA INDEX NAME)

RN 459447-66-6 USPATFULL CN 1,2,4-Triazolo[4,3-a]pyridine, 3-cyclopropyl-6-[4-(4-fluorophenyl)-5-oxazolyl]- (9CI) (CA INDEX NAME)

RM

CN 1,2,4-Triazolo[4,3-a]pyridine, 3-cyclobutyl-6-[4-(4-fluorophenyl)-5-oxazolyl]- (9CI) (CA INDEX NAME)

RN 459447-69-9 USPATFULL

CN 1,2,4-Triazolo[4,3-a]pyridine, 3-(difluoromethyl)-6-(4-phenyl-5-oxazolyl)-(9CI) (CA INDEX NAME)

RN 459447-71-3 USPATFULL

CN 1,2,4-Triazolo[4,3-a]pyridine, 3-(5-isoxazolyl)-6-(4-phenyl-5-oxazolyl)(9CI) (CA INDEX NAME)

RN 459447-72-4 USPATFULL

CN 1,2,4-Triazolo[4,3-a]pyridine, 6-(4-phenyl-5-oxazolyl)-3-(2,2,2-trifluoroethyl)- (9CI) (CA INDEX NAME)

10/649,265

RN 459447-73-5 USPATFULL

CN 1,2,4-Triazolo[4,3-a]pyridine, 3-cyclobutyl-6-(4-phenyl-5-oxazolyl)- (9CI) (CA INDEX NAME)

RN 459447-74-6 USPATFULL

CN 1,2,4-Triazolo[4,3-a]pyridine, 3-cyclopropyl-6-(4-phenyl-5-oxazolyl)-(9CI) (CA INDEX NAME)

RN 459447-75-7 USPATFULL

CN 1,2,4-Triazolo[4,3-a]pyridine, 3-ethyl-6-(4-phenyl-5-oxazolyl)- (9CI) (CA INDEX NAME)

RN 459447-76-8 USPATFULL

CN 1,2,4-Triazolo[4,3-a]pyridine, 3-ethyl-6-[4-(4-fluorophenyl)-5-oxazolyl](9CI) (CA INDEX NAME)

CN 1,2,4-Triazolo[4,3-a]pyridine, 6-[4-(4-fluorophenyl)-5-oxazolyl]-3-(1-methylethyl)- (9CI) (CA INDEX NAME)

- RN 459447-78-0 USPATFULL
- CN '1,2,4-Triazolo[4,3-a]pyridine, 3-cyclobutyl-6-[4-(3-methylphenyl)-5-oxazolyl]- (9CI) (CA INDEX NAME)

- RN 459447-79-1 USPATFULL
- CN 1,2,4-Triazolo[4,3-a]pyridine, 3-(1-methylethyl)-6-[4-(3-methylphenyl)-5-oxazolyl]- (9CI) (CA INDEX NAME)

- RN 459447-80-4 USPATFULL
- CN 1,2,4-Triazolo[4,3-a]pyridine, 6-[4-(4-fluoro-3-methylphenyl)-5-oxazolyl]-3-(1-methylethyl)- (9CI) (CA INDEX NAME)

RN 459447-82-6 USPATFULL

CN 1,2,4-Triazolo[4,3-a]pyridine, 3-cyclopropyl-6-[4-(4-fluoro-3-methylphenyl)-5-oxazolyl]- (9CI) (CA INDEX NAME)

RN 459447-83-7 USPATFULL

CN 1,2,4-Triazolo[4,3-a]pyridine, 6-[4-(4-fluorophenyl)-5-oxazolyl]-3-phenyl-(9CI) (CA INDEX NAME)

RN 459447-84-8 USPATFULL

CN 1,2,4-Triazolo[4,3-a]pyridine, 3-(1-methylethyl)-6-(2-methyl-4-phenyl-5-oxazolyl)- (9CI) (CA INDEX NAME)

RN 459447-88-2 USPATFULL

CN 1,2,4-Triazolo[4,3-a]pyridine, 6-[4-(4-fluorophenyl)-2-methyl-5-oxazolyl]-3-(1-methylethyl)- (9CI) (CA INDEX NAME)

RN 459447-89-3 USPATFULL

CN 1,2,4-Triazolo[4,3-a]pyridine-3-acetic acid, 6-[4-(4-fluorophenyl)-5-oxazolyl]-, ethyl ester (9CI) (CA INDEX NAME)

RN 459447-90-6 USPATFULL

CN 1,2,4-Triazolo[4,3-a]pyridine, 3-(2-chlorophenyl)-6-[4-(3-methylphenyl)-5-oxazolyl]- (9CI) (CA INDEX NAME)

RN 459447-91-7 USPATFULL

CN 1,2,4-Triazolo[4,3-a]pyridine, 6-[4-(2-fluoro-5-methylphenyl)-5-oxazolyl](9CI) (CA INDEX NAME)

RN 459447-92-8 USPATFULL

CN 1,2,4-Triazolo[4,3-a]pyridine, 6-[4-(4-fluorophenyl)-5-oxazolyl]-3-(2-methylphenyl)- (9CI) (CA INDEX NAME)

RN 459447-93-9 USPATFULL

CN 1,2,4-Triazolo[4,3-a]pyridine, 3-(2-fluorophenyl)-6-[4-(3-methylphenyl)-5-oxazolyl]- (9CI) (CA INDEX NAME)

RN 459447-94-0 USPATFULL CN 1,2,4-Triazolo[4,3-a]pyridin-3-amine, 6-[4-(4-fluorophenyl)-5-oxazolyl]-N,N-dimethyl- (9CI) (CA INDEX NAME)

RN 459447-95-1 USPATFULL CN 1,2,4-Triazolo[4,3-a]pyridine, 6-[4-(4-fluoro-3-methylphenyl)-5-oxazolyl]-3-phenyl- (9CI) (CA INDEX NAME)

RN 459447-96-2 USPATFULL CN 1,2,4-Triazolo[4,3-a]pyridine, 6-[4-(3-chloro-4-fluorophenyl)-5-oxazolyl]-3-(1-methylethyl)- (9CI) (CA INDEX NAME)

RN 459447-97-3 USPATFULL

CN 1,2,4-Triazolo[4,3-a]pyridine, 6-[4-(3-fluorophenyl)-5-oxazolyl]-3-(1-methylethyl)- (9CI) (CA INDEX NAME)

RN 459447-98-4 USPATFULL

CN 1,2,4-Triazolo[4,3-a]pyridine, 3-(2-chlorophenyl)-6-[4-(4-fluorophenyl)-5-oxazolyl]- (9CI) (CA INDEX NAME)

RN 459448-00-1 USPATFULL

CN 1,2,4-Triazolo[4,3-a]pyridine, 6-[4-(3,4-difluorophenyl)-5-oxazolyl]-3-(1-methylethyl)- (9CI) (CA INDEX NAME)

10/649,265

RN 459448-01-2 USPATFULL

CN 1,2,4-Triazolo[4,3-a]pyridine, 6-[4-(4-fluorophenyl)-2-methyl-5-oxazolyl]-3-phenyl- (9CI) (CA INDEX NAME)

RN 459448-02-3 USPATFULL

CN 1,2,4-Triazolo[4,3-a]pyridine, 6-[4-(3-fluorophenyl)-5-oxazolyl]-3-phenyl-(9CI) (CA INDEX NAME)

L5 ANSWER 9 OF 9 USPAT2 on STN

ACCESSION NUMBER:

2003:140996 USPAT2

TITLE: INVENTOR(S): Triazolo-pyridines anti-inflammatory compounds McClure, Kim F., Mystic, CT, United States Letavic, Michael A., Mystic, CT, United States Dombroski, Mark A., Waterford, CT, United States Duplantier, Allen J., Ledyard, CT, United States Laird, Ellen R., Longmont, CO, United States

PATENT ASSIGNEE(S):

Pfizer Inc, New York, NY, United States (U.S.

corporation)

NUMBER KIND DATE

PATENT INFORMATION: US 6696464 B2 20040224 US 2002-94760 20020311 APPLICATION INFO.: 20020311 (10) NUMBER DATE -----US 2001-274840P 20010309 (60) PRIORITY INFORMATION: DOCUMENT TYPE: Utility FILE SEGMENT: GRANTED Seaman, D. Margaret PRIMARY EXAMINER: LEGAL REPRESENTATIVE: Richardson, Peter C., Butterfield, Garth NUMBER OF CLAIMS: EXEMPLARY CLAIM: NUMBER OF DRAWINGS: 1 Drawing Figure(s); 1 Drawing Page(s) LINE COUNT: 5301 CAS INDEXING IS AVAILABLE FOR THIS PATENT. The present invention relates to novel triazolo-pyridines of the formula I ##STR1## wherein Het is an optionally substituted 5-membered heterocycle containing one to two heteroatoms selected from nitrogen, sulfur and oxygen wherein at least one of said heteroatoms atoms must be nitrogen; R.sup.2 is selected from the group consisting of hydrogen, (C.sub.1-C.sub.6) alkyl or other suitable substituents; R.sup.3 is selected from the group consisting of hydrogen, (C.sub.1-C.sub.6) alkyl or other suitable substituents; s is an integer from 0-5; to intermediates for their preparation, to pharmaceutical compositions containing them and to their medicinal use. The compounds of the present invention are potent inhibitors of MAP kinases, preferably p38 kinase. They are useful in the treatment of inflammation, osteoarthritis, rheumatoid arthritis, cancer, repurfusion or ischemia in stroke or heart attack, autoimmune diseases and other disorders. CAS INDEXING IS AVAILABLE FOR THIS PATENT. 459447-61-1P, 3-Isopropyl-6-(4-phenyloxazol-5-yl)-[1,2,4]triazolo[4,3-a]pyridine 459447-64-4P, 3-Ethyl-6-(4-m-tolyloxazol-5-yl)-[1,2,4]triazolo[4,3-a]pyridine 459447-66-6P, 3-Cyclopropyl-6-[4-(4-fluorophenyl)oxazol-5-yl]-[1,2,4]triazolo[4,3-a]pyridine 459447-67-7P, 3-Cyclobuty1-6-[4-(4-fluoropheny1)oxazo1-5-y1]-[1,2,4]triazolo[4,3alpyridine 459447-69-9P, 3-Difluoromethyl-6-(4-phenyloxazol-5yl)-[1,2,4]triazolo[4,3-a]pyridine 459447-71-3P, 3-(Isoxazol-5-yl)-6-(4-phenyloxazol-5-yl)-[1,2,4]triazolo[4,3-a]pyridine 459447-72-4P, 6-(4-Phenyloxazol-5-yl)-3-(2,2,2-trifluoroethyl)-[1,2,4]triazolo[4,3-a]pyridine 459447-73-5p, 3-Cyclobuty1-6-(4-phenyloxazol-5-yl)-[1,2,4]triazolo[4,3-a]pyridine 459447-74-6P, 3-Cyclopropyl-6-(4-phenyloxazol-5-yl)-[1,2,4]triazolo[4,3-a]pyridine 459447-75-7P, 3-Ethyl-6-(4-phenyloxazol-5-yl)-[1,2,4]triazolo[4,3-a]pyridine 459447-76-8P, 3-Ethyl-6-[4-(4-fluorophenyl)oxazol-5-yl]-[1,2,4]triazolo[4,3-a]pyridine 459447-77-9P, 6-[4-(4-Fluorophenyl)oxazol-5-yl]-3-isopropyl-[1,2,4]triazolo[4,3a]pyridine 459447-78-0P, 3-Cyclobutyl-6-(4-m-tolyloxazol-5-yl)-[1,2,4]triazolo[4,3-a]pyridine 459447-79-1P, 3-Isopropyl-6-(4-m-tolyloxazol-5-yl)-[1,2,4]triazolo[4,3-a]pyridine 459447-80-4P, 6-[4-(4-Fluoro-3-methylphenyl)oxazol-5-yl]-3-

isopropyl-[1,2,4]triazolo[4,3-a]pyridine 459447-82-6P,

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3-Cyclopropyl-6-[4-(4-fluoro-3-methylphenyl)oxazol-5-yl]-
      [1,2,4]triazolo[4,3-a]pyridine 459447-83-7P,
      6-[4-(4-Fluorophenyl)oxazol-5-yl]-3-phenyl-[1,2,4]triazolo[4,3-a]pyridine
      459447-84-8P, 3-Isopropy1-6-(2-methyl-4-phenyloxazol-5-yl)-
      [1,2,4]triazolo[4,3-a]pyridine 459447-88-2P,
      6-[4-(4-Fluorophenyl)-2-methyloxazol-5-yl]-3-isopropyl-
      [1,2,4]triazolo[4,3-a]-pyridine 459447-89-3P,
      [6-[4-(4-Fluorophenyl)oxazol-5-yl]-[1,2,4]triazol[4,3-a]pyridin-3-
      yl]acetic acid ethyl ester 459447-90-6P,
      3-(2-Chlorophenyl)-6-[4-(m-tolyl)oxazol-5-yl]-[1,2,4]triazol[4,3-
      a)pyridine 459447-91-7P, 6-[4-(2-Fluoro-5-methylphenyl)oxazol-5-
      yl] - [1,2,4] triazol [4,3-a] pyridine 459447-92-8P
      459447-93-9P, 3-(2-Fluorophenyl)-6-[4-(m-tolyl)oxazol-5-yl]-
      [1,2,4]triazol[4,3-a]pyridine 459447-94-0P,
      [6-[4-(4-Fluorophenyl)oxazol-5-yl]-[1,2,4]triazol[4,3-a]pyridin-3-
      yl]dimethylamine 459447-95-1P, 6-[4-(4-Fluoro-3-
      methylphenyl)oxazol-5-yl]-3-phenyl-[1,2,4]triazol[4,3-a]pyridine
      459447-96-2P, 6-[4-(3-Chloro-4-fluorophenyl)oxazol-5-yl]-3-
      isopropyl-[1,2,4]triazol[4,3-a]pyridine 459447-97-3P,
      6-[4-(3-Fluorophenyl)oxazol-5-yl]-3-isopropyl-[1,2,4]triazol[4,3-
      a]pyridine 459447-98-4P, 3-(2-Chlorophenyl)-6-[4-(4-
      fluorophenyl)oxazol-5-yl]-[1,2,4]triazol[4,3-a]pyridine
      459448-00-1P, 6-[4-(3,4-Difluorophenyl)oxazol-5-yl]-3-isopropyl-
      [1,2,4]triazol[4,3-a]pyridine 459448-01-2P,
      6-[4-(4-Fluorophenyl)-2-methyloxazol-5-yl]-3-phenyl-[1,2,4]triazol[4,3-
      a]pyridine 459448-02-3P, 6-[4-(3-Fluorophenyl)oxazol-5-yl]-3-
      phenyl-[1,2,4]triazol[4,3-a]pyridine
        (anti-inflammatory agent; preparation of (phenylheterocyclyl)triazolopyridin
        es as anti-inflammatory agents)
RN
     459447-61-1 USPAT2
CN
     1,2,4-Triazolo[4,3-a]pyridine, 3-(1-methylethyl)-6-(4-phenyl-5-oxazolyl)-
       (9CI) (CA INDEX NAME)
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RN 459447-64-4 USPAT2
CN 1,2,4-Triazolo[4,3-a]pyridine, 3-ethyl-6-[4-(3-methylphenyl)-5-oxazolyl](9CI) (CA INDEX NAME)

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RN 459447-66-6 USPAT2
CN 1,2,4-Triazolo[4,3-a]pyridine, 3-cyclopropyl-6-[4-(4-fluorophenyl)-5-
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oxazolyl] - (9CI) (CA INDEX NAME)

RN 459447-67-7 USPAT2

CN 1,2,4-Triazolo[4,3-a]pyridine, 3-cyclobutyl-6-[4-(4-fluorophenyl)-5-oxazolyl]- (9CI) (CA INDEX NAME)

RN 459447-69-9 USPAT2

CN 1,2,4-Triazolo[4,3-a]pyridine, 3-(difluoromethyl)-6-(4-phenyl-5-oxazolyl)-(9CI) (CA INDEX NAME)

RN 459447-71-3 USPAT2

CN 1,2,4-Triazolo[4,3-a]pyridine, 3-(5-isoxazolyl)-6-(4-phenyl-5-oxazolyl)-(9CI) (CA INDEX NAME)

RN 459447-72-4 USPAT2

CN 1,2,4-Triazolo[4,3-a]pyridine, 6-(4-phenyl-5-oxazolyl)-3-(2,2,2-trifluoroethyl)- (9CI) (CA INDEX NAME)

RN 459447-73-5 USPAT2

CN 1,2,4-Triazolo[4,3-a]pyridine, 3-cyclobutyl-6-(4-phenyl-5-oxazolyl)- (9CI) (CA INDEX NAME)

RN 459447-74-6 USPAT2

CN 1,2,4-Triazolo[4,3-a]pyridine, 3-cyclopropyl-6-(4-phenyl-5-oxazolyl)(9CI) (CA INDEX NAME)

RN 459447-75-7 USPAT2

CN 1,2,4-Triazolo[4,3-a]pyridine, 3-ethyl-6-(4-phenyl-5-oxazolyl)- (9CI) (CA INDEX NAME)

RN 459447-76-8 USPAT2

CN 1,2,4-Triazolo[4,3-a]pyridine, 3-ethyl-6-[4-(4-fluorophenyl)-5-oxazolyl](9CI) (CA INDEX NAME)

RN 459447-77-9 USPAT2

CN 1,2,4-Triazolo[4,3-a]pyridine, 6-[4-(4-fluorophenyl)-5-oxazolyl]-3-(1-methylethyl)- (9CI) (CA INDEX NAME)

RN 459447-78-0 USPAT2

CN 1,2,4-Triazolo[4,3-a]pyridine, 3-cyclobutyl-6-[4-(3-methylphenyl)-5-oxazolyl]- (9CI) (CA INDEX NAME)

RN 459447-79-1 USPAT2

CN 1,2,4-Triazolo[4,3-a]pyridine, 3-(1-methylethyl)-6-[4-(3-methylphenyl)-5-oxazolyl]- (9CI) (CA INDEX NAME)

RN 459447-80-4 USPAT2

CN 1,2,4-Triazolo[4,3-a]pyridine, 6-[4-(4-fluoro-3-methylphenyl)-5-oxazolyl]-3-(1-methylethyl)- (9CI) (CA INDEX NAME)

RN 459447-82-6 USPAT2

CN 1,2,4-Triazolo[4,3-a]pyridine, 3-cyclopropyl-6-[4-(4-fluoro-3-methylphenyl)-5-oxazolyl]- (9CI) (CA INDEX NAME)

RN 459447-83-7 USPAT2

CN 1,2,4-Triazolo[4,3-a]pyridine, 6-[4-(4-fluorophenyl)-5-oxazolyl]-3-phenyl-(9CI) (CA INDEX NAME)

RN 459447-84-8 USPAT2

CN 1,2,4-Triazolo[4,3-a]pyridine, 3-(1-methylethyl)-6-(2-methyl-4-phenyl-5-oxazolyl)- (9CI) (CA INDEX NAME)

RN 459447-88-2 USPAT2

CN 1,2,4-Triazolo[4,3-a]pyridine, 6-[4-(4-fluorophenyl)-2-methyl-5-oxazolyl]-3-(1-methylethyl)- (9CI) (CA INDEX NAME)

RN 459447-89-3 USPAT2

CN 1,2,4-Triazolo[4,3-a]pyridine-3-acetic acid, 6-[4-(4-fluorophenyl)-5-oxazolyl]-, ethyl ester (9CI) (CA INDEX NAME)

RN 459447-90-6 USPAT2

CN 1,2,4-Triazolo[4,3-a]pyridine, 3-(2-chlorophenyl)-6-[4-(3-methylphenyl)-5-oxazolyl]- (9CI) (CA INDEX NAME)

RN 459447-91-7 USPAT2

CN 1,2,4-Triazolo[4,3-a]pyridine, 6-[4-(2-fluoro-5-methylphenyl)-5-oxazolyl](9CI) (CA INDEX NAME)

RN 459447-92-8 USPAT2

CN 1,2,4-Triazolo[4,3-a]pyridine, 6-[4-(4-fluorophenyl)-5-oxazolyl]-3-(2-methylphenyl)- (9CI) (CA INDEX NAME)

RN 459447-93-9 USPAT2

CN 1,2,4-Triazolo[4,3-a]pyridine, 3-(2-fluorophenyl)-6-[4-(3-methylphenyl)-5-oxazolyl]- (9CI) (CA INDEX NAME)

RN 459447-94-0 USPAT2

CN 1,2,4-Triazolo[4,3-a]pyridin-3-amine, 6-[4-(4-fluorophenyl)-5-oxazolyl]-N,N-dimethyl- (9CI) (CA INDEX NAME)

RN 459447-95-1 USPAT2

CN 1,2,4-Triazolo[4,3-a]pyridine, 6-[4-(4-fluoro-3-methylphenyl)-5-oxazolyl]-3-phenyl- (9CI) (CA INDEX NAME)

RN 459447-96-2 USPAT2

CN 1,2,4-Triazolo[4,3-a]pyridine, 6-[4-(3-chloro-4-fluorophenyl)-5-oxazolyl]-3-(1-methylethyl)- (9CI) (CA INDEX NAME)

RN 459447-97-3 USPAT2

CN 1,2,4-Triazolo[4,3-a]pyridine, 6-[4-(3-fluorophenyl)-5-oxazolyl]-3-(1-methylethyl)- (9CI) (CA INDEX NAME)

RN 459447-98-4 USPAT2

CN 1,2,4-Triazolo[4,3-a]pyridine, 3-(2-chlorophenyl)-6-[4-(4-fluorophenyl)-5-oxazolyl]- (9CI) (CA INDEX NAME)

RN 459448-00-1 USPAT2

CN 1,2,4-Triazolo[4,3-a]pyridine, 6-[4-(3,4-difluorophenyl)-5-oxazolyl]-3-(1-methylethyl)- (9CI) (CA INDEX NAME)

RN 459448-01-2 USPAT2

CN 1,2,4-Triazolo[4,3-a]pyridine, 6-[4-(4-fluorophenyl)-2-methyl-5-oxazolyl]-3-phenyl- (9CI) (CA INDEX NAME)

10/649,265

RN 459448-02-3 USPAT2
CN 1,2,4-Triazolo[4,3-a]pyridine, 6-[4-(3-fluorophenyl)-5-oxazolyl]-3-phenyl(9CI) (CA INDEX NAME)

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